=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 15:09:18 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Feb 2004 VOL 140 ISS 9 FILE LAST UPDATED: 22 Feb 2004 (20040222/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> =>

=> d stat que

L16 STR

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L18 3834 SEA FILE=REGISTRY SSS FUL L16

L19 18 SEA FILE=REGISTRY ABB=ON PLU=ON L18 AND (LYSINE? OR ORNITHINE

? OR HISTIDINE?)

L24 19 SEA FILE=HCAPLUS ABB=ON PLU=ON L19

=> ->

=> d ibib abs hitrn 124 1-19

L24 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:551337 HCAPLUS

DOCUMENT NUMBER:

139:122734

TITLE:

Lipids for delivering substances into cells

INVENTOR(S): Chu, Yong Liang; Li, Franck Q.; Qiu, Jian-tai; Lin,

Jerry

PATENT ASSIGNEE(S):

SOURCE:

Vaxim, Inc., USA PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

Page 1

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

```
PATENT NO.
                            KIND DATE
                                                        APPLICATION NO. DATE
      WO 2003057164 A2 20030717 WO 2003-US211 20030106
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
                 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
           PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
                 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
                 NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                             A1 20030717
      US 2003134423
                                                          US 2002-35223
                                                                                  20020104
                                                                          A 20020104
                                                      US 2002-35223
PRIORITY APPLN. INFO.:
                                 MARPAT 139:122734
OTHER SOURCE(S):
      Lipids and compns. of lipids that can be used as lipid aggregates (i.e.,
      provided. The lipids can be used to form lipid aggregates (i.e.,
```

liposomes) for the delivery of macromols. and other compds. into cells are liposomes). These lipid aggregates can serve as transfection reagents for the delivery of various compds. into cells. Suitable compds. that can be delivered into cells include nucleic acids (e.g. DNA, RNA), oligonucleotides, proteins, peptides, and small mol. drugs. One example compd. prepd. was ditetradecyl(2-hydroxy-3-propylamino)aminopolylysine and this compd. and a similar compd. were formulated in lipid compns. as transfection reagents for DNA delivery.

ΙT 561297-40-3

RL: RCT (Reactant); RACT (Reactant or reagent) (lipids for delivering substances into cells)

L24 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:789682 HCAPLUS

DOCUMENT NUMBER: 137:273730

TITLE: Efficient synthesis and cell-transfection properties

of a new multivalent cationic lipid for nonviral gene

delivery

AUTHOR(S): Ewert, Kai; Ahmad, Ayesha; Evans, Heather M.; Schmidt,

Hans-Werner; Safinya, Cyrus R. Department of Materials, University of California, CORPORATE SOURCE:

Santa Barbara, CA, 93106, USA

Journal of Medicinal Chemistry (2002), 45(23), SOURCE:

5023-5029

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal English

Lipid-mediated delivery of DNA into cells holds great promise both for gene therapy and basic research applications. This paper describes the efficient and facile synthesis and the characterization of a new multivalent cationic lipid with a double-branched headgroup structure for gene delivery applications. The synthetic scheme can be extended to give cationic lipids of different charge, spacer, or lipid chain length. The chem. and phys. properties of self-assembled complexes of the cationic liposomes (CLs) with DNA give indications of why multivalent cationic lipids possess superior transfection properties. The lipid bears a headgroup with five charges in the fully protonated state, which is attached to an unsatd. double-chain hydrophobic moiety based on 3,4-dihydroxybenzoic acid. Liposomes consisting of the new multivalent

lipid and the neutral lipid 1,2-dioleoyl-sn-glycerophosphatidylcholine (DOPC) were used to prep. complexes with DNA. Investigations of the structures of these complexes by optical microscopy and small-angle X-ray scattering reveal a lamellar L.alpha.C phase of CL-DNA complexes with the DNA mols. sandwiched between bilayers of the lipids. Expts. using plasmid DNA contg. the firefly luciferase reporter gene show that these complexes efficiently transfect mammalian cells. When compared to the monovalent cationic lipid 2,3-dioleyloxypropyltrimethylammonium chloride (DOTAP), the higher charge d. of the membranes of CL-DNA complexes achievable with the new multivalent lipid greatly increases transfection efficiency in the regime of small molar ratios of cationic to neutral lipid. This is desired to minimize the known toxicity effects of cationic lipids.

220170-83-2P 464925-99-3P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(efficient synthesis and cell-transfection properties of a new

multivalent cationic lipid for nonviral gene delivery)

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:309818 HCAPLUS

DOCUMENT NUMBER: 136:336176

TITLE: Compositions containing DNA, Tat peptide-nucleic acid

binder conjugates, and cationic lipids for cell

transfections

INVENTOR(S): Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen;

Jessee, Joel A.; Schifferli, Kevin P.; Gebeyehu,

PATENT ASSIGNEE(S):

Gulilat; Ciccarone, Valentina C.; Evans, Krista L. Life Technologies, Inc., USA U.S., 108 pp., Cont.-in-part of U.S. 6,051,429. SOURCE:

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE
US 6376248	B1	20020423		US 1998-39780	19980316
US 6051429	A	20000418		US 1997-818200	19970314
US 2003069173	A1	20030410		US 2001-911569	20010723
US 2003144230	A1	20030731		US 2002-200879	20020723
PRIORITY APPLN. INFO.	:		US	1997-818200 A	2 19970314
			US	1995-477354 B.	2 19950607
			US	1996-658130 A	2 19960604
			US	1998-39780 A	1 19980316
			US	2001-911569 A	20010723

US 2001-911569 A1 20010723 The present invention provides compns. useful for transfecting cells AΒ comprising nucleic acid complexes with Tat peptide, wherein the peptide is covalently coupled to a nucleic acid-binding group, and cationic lipids as transfection agents. Inclusion of peptides in transfection compns. or covalent attachment of peptides to transfection agents results in enhanced transfection efficiency. Methods for the prepn. of transfection compns. and methods of using these transfection compns. as intracellular delivery agents are also disclosed.

ΤТ 213131-55-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(compns. contg. DNA, Tat peptide-nucleic acid binder conjugates, and cationic lipids for cell transfections)

REFERENCE COUNT: 157 THERE ARE 157 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Desai 10 018547 L24 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN 2000:401776 HCAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 133:38223 Polyamine amide derivative transport inhibitors, their TITLE: preparation, and their therapeutic and diagnostic use Poulin, Richard; Audette, Marie; Charest-Gaudrealt, INVENTOR(S): Rene PATENT ASSIGNEE(S): Universite Laval, Can.; Ilex Oncology, Inc. PCT Int. Appl., 111 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. DATE PATENT NO. KIND DATE WO 2000034226 A1 20000615 ______ WO 1998-US26493 19981210 W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG A1 20000626 AU 9919988 AU 1999-19988 19981210

PRIORITY APPLN. INFO.: WO 1998-US26493 A 19981210 OTHER SOURCE(S): MARPAT 133:38223 The application discloses synthetic derivs. of original polyamines in AΒ which a carbon atom to the original polyamine comprises an amide group inhibits the cellular uptake of a natural polyamine by specifically binding a cellular transporter for a natural polyamine. The synthetic derivs. are used to inhibit the activity of a natural polyamine transporter in the treatment of disorders involving unrestrained cell proliferation and/or differentiation where control of polyamine transport is required When used in combination with an inhibitor of polyamine synthesis.

119798-07-1P 213131-55-6P TT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction; polyamine amide deriv. transport inhibitor prepn. and diagnostic and therapeutic use)

REFERENCE COUNT: 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

2000:388556 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 133:34433

TITLE: Reagents for intracellular delivery of macromolecules

Gebeyehu, Gulilat; Jessee, Joel A. Life Technologies, Inc., USA INVENTOR(S):

PATENT ASSIGNEE(S):

U.S., 21 pp. SOURCE:

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6075012	А	20000613	US 1994-195866	19940211

Desai 10 018547

```
US 1994-195866
PRIORITY APPLN. INFO.:
                                                                     19940211
                             MARPAT 133:34433
OTHER SOURCE(S):
      The present invention discloses cationic lipids and lipophilic compds.
· AB
      useful for making lipid aggregates for delivery of macromols. and other
      compds. into cells. They are esp. useful for the DNA-dependent
      transformation of cells. Compns. of cationic lipids and viral components
      or non-viral fusagenic compds. useful for enhancing transfection are also
      described.
      124076-28-4
TT
      RL: RCT (Reactant); RACT (Reactant or reagent)
         (reagents for intracellular delivery of macromols.)
REFERENCE COUNT:
                             47
                                    THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS
                                    RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L24 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
                             2000:335366 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                             132:334312
TITLE:
                             synthesis and activity of transfection reagents for
                             transport of biol. active agents or substances into
                             cells
                             Chu, Yongliang; Masoud, Malek; Gebeyehu, Gulilat
INVENTOR(S):
                             Life Technologies, Inc., USA
PATENT ASSIGNEE(S):
SOURCE:
                             PCT Int. Appl., 130 pp.
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
LANGUAGE:
                             English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                    KIND DATE
                                                  APPLICATION NO. DATE
                                _____
                         ----
                                                WO 1999-US26825 19991112
      WO 2000027795
                        A1
                                 20000518
          W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
               CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
               BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
               DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

A1 20010905 EP 1999-971794 19991112
      EP 1129064
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
      JP 2002529439
                                                  JP 2000-580975
                         T2 20020910
                                                                      19991112
                                               US 1998-108117P P 19981112
WO 1999-US26825 W 19991112
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
                           MARPAT 132:334312
GΙ
                                       Me
                                                                 Me
                           Me2N(CH2)3N
     RЗ
            R6
                                       (CH<sub>2</sub>)<sub>4</sub>
                                                                2 I-
            Q+
                 - R5
R1 Q+
                                                                  Me
            A2
     A1
                           Me2N(CH2)3N
                                                                 7
     R1
            R4
                                                      II
         Τ
                                       Me
```

Synthesis and activity of transfection reagents (I) [Q = N, O, S; L = (un) substituted alkyl, ether, polyether, amide, polyamide, ester, sulfide, AB urea, thiourea, guanidyl, carbamoyl, carbonate, phosphate, sulfate, sulfoxide, imine, carbonyl, secondary amine; R1-R6 independently = (un) substituted alkyl, alkenyl, aryl, ether; A1, A2 independently = CH2O, CH2S, CH2NH, CO, C=NH, CS, alkyl; X = physiol. acceptable anion; n = 1-1000; q = no. of pos. charge divided by valence of anion], cationic lipids capable of facilitating transport of biol. active agents or substances into cells, are disclosed. Thus, I [R1,R4 = oley1; R2,R5 = Me2N(CH2)3; R3,R6 = Me; A1,A2 = CH2; L = (CH2)4; X = I] (II) is prepd. by reaction of bis-1,4-oleyl-1,4-butandiamine with acrylonitrile followed by redn. of nitrile to amine and quaternization of amine with Me iodide. II shows an activity of 37.8 ng/.beta.gal/cm2 in DNA delivery. Formulations contq. I are given.

213131-55-6 TT

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and activity of transfection reagents for transport of biol.

active agents or substances into cells)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:254039 HCAPLUS

DOCUMENT NUMBER:

132:289590

TITLE: INVENTOR(S): Peptide-enhanced cationic lipid transfections Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen; Jessee, Joel A.; Schifferli, Kevin P.; Gebeyehu,

Gulilat

PATENT ASSIGNEE(S):

SOURCE:

Life Technologies, Inc., USA U.S., 103 pp., Cont.-in-part of U.S. 5,736,392.

CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

]	PATENT NO.					ND	DATE			APPLICATION NO. DATE								
•										-								
Į	US	6051	429		Α		2000	0418		Ü	S 19	97-8	1820	0	1997	0314		
Į	US	5736	392		A		1998	0407		U	S 19	96-6	5813	0	19960604			
1	WO	9840	502		A.	1	1998	980917 WO 1998-US5232							19980316			
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	GW,	HU,	ID,	IL,	IS,	JP,	KE,	KG,
															MK,			
										•			-		ТJ,	-	-	-
				•		•									RU,			•
		RW:	,	•	•	•		•	•	•	•				DE,			FI.
		2		•	•						-	-			CF,	-	-	
							NE,		-		,	0.5,	22,	20,	02,	00,	02,	0,
;	ΔII	9865						19980929 AU 1998-65622 19980							0316		-	
		1007																
	шт														NL,		MC	PT.
		10.	IE,		O11,	D.,	Dicy	LO,		OD,	011,	± + /	11,	шо,	11137	CL,	110,	/
	TD	2001			Tr.	2	2001	1000		. 7	D 10	98-5	3989	a	1998	0316		
		6376			B		2002							-	1998			
		2003					2002			_					2002			
PRIOR							2003			_		-			1995			
PRIOR.	.111	L APP.	T11/1	INLO	• •													
															1996			
											-	8182			1997			
										-			0		1998			
WO 1998-US5232 W 19980316 US 2001-911569 A1 20010723																		
										US 2	001-	9115	69	A1	2001	0723		

Desai 10 018547 The present invention provides compns. useful for transfecting eukaryotic AΒ cells comprising nucleic acid complexes with peptides, wherein the peptide is optionally covalently coupled to a nucleic acid-binding group, and cationic lipids or dendrimers as transfection agents. The invention also provides transfection compns. in which a peptide is covalently linked to the transfection agent (lipid, cationic lipid or dendrimer). Inclusion of peptides or modified-peptides in transfection compns. or covalent attachment of peptides to transfection agents results in enhanced transfection efficiency. Methods for the prepn. of transfection compns. and methods of using these transfection compns. as intracellular delivery agents and extracellular targeting agents are also disclosed. ΙT 213131-55-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (in prepn. spermine-contq. peptides; increasing efficiency of uptake of transforming DNA complexes with polycations using peptides) THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L24 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:691066 HCAPLUS

DOCUMENT NUMBER:

131:307091

TITLE:

Polyamine transport inhibitors, their preparation, and

their therapeutic use

INVENTOR(S):

Poulin, Richard; Audette, Marie; Charest-Gaudrealt,

PATENT ASSIGNEE(S):

Universite Laval, Can.; Ilex Oncology, Inc.

SOURCE:

PCT Int. Appl., 115 pp.

AB

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
KIND DATE
                                                    APPLICATION NO.
                                                                            DATE
PATENT NO.
                                                    _____
                               _____
                     ____
     9954283 A1 19991028 WO 1998-US7806 19980421
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
WO 9954283
          LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG,
           KZ, MD, RU, TJ, TM
     RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
           CM, GA, GN, ML, MR, NE, SN, TD, TG
                                                    CA 1998-2304557 19980421
CA 2304557
                       AA
                               19991028
                                                    AU 1998-71316
                                                                            19980421
AU 9871316
                        A1
                               19991108
EP 1003715
                                                    EP 1998-918385
                               20000531
                                                                            19980421
                        A1
          AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, FI
```

PRIORITY APPLN. INFO.:

WO 1998-US7806 A 19980421

OTHER SOURCE(S): MARPAT 131:307091

The invention describes the design, synthesis and therapeutic use of a variety of novel inhibitors of polyamine transport. The main feature of this class of transport inhibitors is to incorporate a linker or side chain that prevents the uptake of polyamines and helps to conjugate polyamine analogs to form dimers with high inhibitory potency against polyamine uptake. These new compds. incorporate features that are designed to maximize their chem. and metabolic stability and their ability to bind to the polyamine transporter, and to minimize their toxicity by preventing their absorption by the cells. The purpose of such inhibitors is to prevent the uptake or salvaging of circulating polyamines by rapidly proliferating cells such as tumor cells, in order to potentiate the effect

That some structure of the dimers.

Desai 10 018547

```
of therapeutic inhibitors of polyamine biosynthesis such as
     .alpha.-difluoromethylornithene.
     119798-07-1P 124076-28-4P
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (prepn. and reaction; polyamine transport inhibitor prepn. and
        therapeutic use)
                                  THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                                  RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L24 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
                           1999:136874 HCAPLUS
ACCESSION NUMBER:
                           130:153974
DOCUMENT NUMBER:
TITLE:
                           Preparation of novel lipopolyamines and their use in
                           transport liposomes for carrying transfection agents
                           Klosel, Roland; Konig, Stephan
INVENTOR(S):
                           Biontex Laboratories G.m.b.H., Germany
PATENT ASSIGNEE(S):
                           PCT Int. Appl., 64 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
                           German
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                          APPLICATION NO. DATE
     PATENT NO.
                       KIND DATE
                              19990225 WO 1998-EP5156 19980813
     _____ ___
     WO 9908997
                       A1
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
              FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
              CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                      A1 19990401
     DE 19834683
                                         DE 1998-19834683 19980731
                                                                 19980813
                                               AU 1998-93421
     AU 9893421
                         A1
                               19990308
     AU 745958
                              20020411
                         B2
     EP 1003711
                       A1
                              20000531
                                              EP 1998-946333 19980813
                       B1 20011107
         R: AT, BE, CH, DE, ES, FR, GB, IT, LI
                      Т2
                              20010918
                                              JP 2000-509683
                                                                  19980813
     JP 2001515060
                                               AT 1998-946333
                                                                19980813
     AT 208369
                         E
                               20011115
                                              ES 1998-946333
     ES 2167939
                         T3
                               20020516
                                                                 Mit some structured
                              20010828
                                              US 2000-463172
                                                                  20000329
     US 6281371
                         В1
                                           DE 1997-19735125 A 19970813
PRIORITY APPLN. INFO.:
                                            DE 1998-19834683 A
                                            WO 1998-EP5156 W 19980813
OTHER SOURCE(S): MARPAT 130:153974
GT
                                                   .CH2+CH3
H_2N - CH_2 NH + CH_2 CH_2 C - CO - NH - CH_2 CH_2 N
                                                    CH2 | CH3
                                                        17
                                                           Ι
```

```
The invention relates to novel lipopolyamines [H(NH(CH2)a)b]2-
AΒ
    nN(H)n(CH2)cX(R)(CH2)dN(H)m[((CH2)eNH)fH]2-m, where R = (CH2)gN(R1)(R2);
    R1, R2 = independently (un)satd., (un)substituted alkyl; X = N,
    N(CH2)hC(O)NH, N(CH2)rC(O)O, N(CH2)kNHC(O), N(CH2)kOC(O), CHC(O)NH,
    CHC(O)O, CHC(O)NH(CH2)1NH, CHCH2NH; [see text for values and combinations
    of letter subscripts], (including their salts), characterized by a sym.,
    highly flexible lipophilic component with a buffering capacity at physiol.
    pH, and to their use for funneling biol. active materials such as DNA,
    RNA, ribozymes, anti-sense DNA, peptides and proteins into eukaryotic
    cells in vivo or in vitro. Thus, N-BOC-N', N'-dioctadecylethylenediamine
    was prepd. from N-BOC-ethylenediamine and octadecyl bromide, and reacted
    with tetra-BOC-carboxyspermine, and the product N-deprotected to give I as
     its tetra-TFA salt. In in vitro transfection tests of
    pCVM<Sport>.beta.-Gal with CV-1, Hela S3, and NIH 3T3 cells, liposomes
    constructed from I and dioleoylphosphatidylethanoamine,
    dioleoylphosphatidylcholine, cholesterol, or cholesteryl-amine, in
    presence or absence of serum, showed relative transfection efficiencies of
    66-100%.
    220170-83-2P 220170-84-3P
IT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (reaction of in the prepn. of novel lipopolyamines for use in transport
        liposomes for carrying transfection agents)
                               THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

L24 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

1998:684856 HCAPLUS ACCESSION NUMBER:

129:306524 DOCUMENT NUMBER:

Cationic amphiphiles for intracellular delivery of TITLE:

therapeutic molecules

Siegel, Craig S.; Lee, Edward R.; Harris, David J. INVENTOR(S):

Genzyme Corp., USA PATENT ASSIGNEE(S): PCT Int. Appl., 79 pp.

SOURCE: CODEN: PIXXD2

Patent

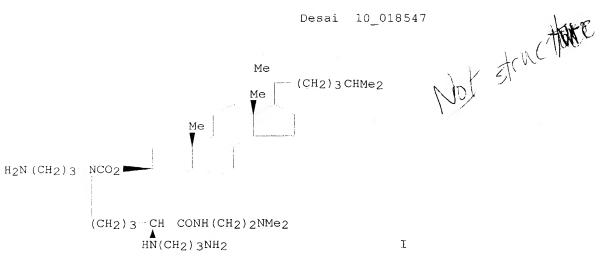
DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DAGENIO NO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843994	A1	19981008	WO 1998-US6169	19980330
W: AU, CA,	JP			
		, DK, ES, E	TI, FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
US 5925628	A	19990720		19970331
AU 9867846	A1	19981022	AU 1998-67846	19980330
PRIORITY APPLN. INFO	.:		US 1997-828234	19970331
			WO 1998-US6169	19980330 -
			VCE 0.4	

OTHER SOURCE(S): MARPAT 129:306524



Novel cationic amphiphiles are provided that facilitate transport of biol. AΒ active (therapeutic) mols. into cells. There are provided also therapeutic compns. prepd. typically by contacting a dispersion of one or more cationic amphiphiles with the therapeutic mols. Therepeutic mols. that can be delivered into cells according to the practice of the invention include DNA, RNA, and polypeptides. Representative uses of the therapeutic compns. of the invention include providing gene therapy, and delivery of antisense polynucleotides or biol. active polypeptides to cells. With respect to therapeutic compns. for gene therapy, the DNA is provided typically in the form of a plasmid for complexing with the cationic amphiphile. An example amphiphile prepd. was I. Other examples given were cell transfection assay, CAT assay, construction of vectors, and correction of Cl- transport defect in airway epithelial cells of a cystic fibrosis patient by cationic amphiphile-mediated gene transfer.

214398-85-3P 214398-86-4P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(cationic amphiphiles for intracellular delivery of therapeutic mols.)

IT 214398-52-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (cationic amphiphiles for intracellular delivery of therapeutic mols.) REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:682412 HCAPLUS

DOCUMENT NUMBER:

129:311677

TITLE:

Imidazole-containing cationic amphiphiles for

intracellular delivery of therapeutic molecules using

liposomes

INVENTOR(S):

Siegel, Craig S.; Lee, Edward R.; Harris, David J.

PATENT ASSIGNEE(S):

Genzyme Corporation, USA PCT Int. Appl., 71 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE _____ ____ A1 19981015 WO 1998-US6383 19980402

W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

```
PT, SE
     US 5912239
                         Α
                                19990615
                                                US 1997-833370
                                                                    19970404
                                                AU 1998-68749
                                                                   19980402
                               19981030
     AU 9868749
                         Α1
PRIORITY APPLN. INFO.:
                                             US 1997-833370
                                                                   19970404
                                             WO 1998-US6383
                                                                   19980402
                           MARPAT 129:311677
OTHER SOURCE(S):
     Novel cationic amphiphiles (Markush structure given) that can be used in
     liposomes to facilitate transport of biol. active and therapeutic mols.
     into cells are described. These mols. can be used in liposomes to deliver
     therapeutic mols. including DNA, RNA, and proteins. The hydrophilic
     moiety is a sterol and the hydrophobic moiety is polyamine, often
     including an imidazole group. Representative uses of the therapeutic
     compns. of the invention include providing gene therapy, and delivery of
     antisense polynucleotides or biol. active polypeptides to cells. With
     respect to therapeutic compns. for gene therapy, the DNA is provided
     typically in the form of a plasmid for complexing with the cationic
     amphiphile. Synthesis of.
IT
     214398-85-3P 214398-86-4P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (prepn. and reactions of, in prepn. amphiphilic compds.;
         imidazole-contq. cationic amphiphiles for intracellular delivery of
         therapeutic mols. using liposomes)
                                   THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
                            11
REFERENCE COUNT:
                                   RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L24 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                           1998:621324 HCAPLUS
DOCUMENT NUMBER:
                           129:240848
                            Increasing the efficiency of uptake of transforming
TITLE:
                            DNA complexes with polycations using peptides
                            Hawley-Nelson, Pamela; Lan, Jianqing; Shih, Pojen;
INVENTOR(S):
                            Jessee, Joel A.; Ciccarone, Valentina C.; Evans,
                            Krista L.; Schifferli, Kevin P.; Gebeyehu, Guililat
                            Life Technologies, Inc., USA
PATENT ASSIGNEE(S):
                            PCT Int. Appl., 105 pp.
SOURCE:
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                         APPLICATION NO. DATE
     PATENT NO. KIND DATE
     WO 9840502 Al 19980917
                                               WO 1998-US5232 19980316
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
              UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

5051429

A 20000418

US 1997-818200

19970314
     US 6051429
                                              AU 1998-65622 19980316
EP 1998-911737 19980316
     AU 9865622
                         A1
                               19980929
     EP 1007699
                        A1 20000614
```

Page 11

US 1995-477354

US 1996-658130 WO 1998-US5232

JP 1998-539899

US 1997-818200 A 19970314

19980316

B2 19950607 A2 19960604

W 19980316

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

20011009

T2

IE, FI

JP 2001517939

PRIORITY APPLN. INFO.:

A method of increasing the efficiency of transformation of eukaryotic AΒ cells using complexes of nucleic acids with polycations is decribed. method uses peptide conjugates with nucleic acid-binding moieties, cationic lipids and dendrimers to complex the DNA. The peptides may be synthetic or derived from a cellular protein and may be further derivatized, e.g. by selective deprotection. The peptide may also be covalently linked to the transfection agent (lipid, cationic lipid or dendrimer). Inclusion of peptides or modified-peptides in transfection compns. or covalent attachment of peptides to transfection agents increases the efficiency of transfection. Methods for the prepn. of transfection compns. and methods of using these transfection compns. as intracellular delivery agents and extracellular targeting agents are also disclosed.

213131-55-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in prepn. spermine-contg. peptides; increasing efficiency of uptake of transforming DNA complexes with polycations using peptides)

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:268467 HCAPLUS

DOCUMENT NUMBER:

128:321804

TITLE:

Preparation of spermine analogs for use as polyamine

transport inhibitors

INVENTOR(S):

Poulin, Richard; Audette, Marie; Charest-Gaudrealt,

Rene

PATENT ASSIGNEE(S):

Universite Laval, Can.; Poulin, Richard; Audette,

Marie; Charest-Gaudrealt, Rene

SOURCE:

PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

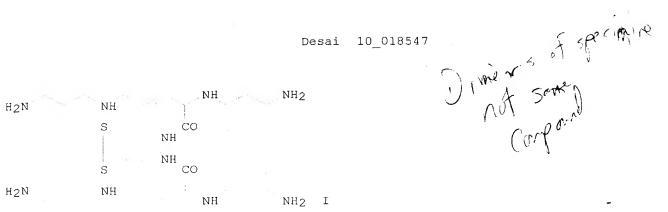
FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	PATENT NO.			KIND DATE				A	PPLI	CATI	и ис	ο.	DATE									
	981 [°] 981 [°] 981 [°]					1998 1998			W	0 19	97 - I	B165	1	19971022								
	W:	ES,	FI,	GB,	GE,	HU,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	CZ, LK,	LR,	LS,	LT,					
		SG,	,	SK,	ТJ,	TM,		-	-					RO, AM,								
	RW:	GH,	KE,	LS,	MW,	SD,								DK, CG,								
US	6083					SN, 2000			U	s 19	96-7	3513	0	1996	1022							
	2241					1998 1998			-	A 19 U 19				1997 1997								
EF	8763 R:	327 AT,								P 19 GR,				1997 NL,		MC,	PT,					
PRIORIT	Y API	•	FI INFO	.:						996- 997 -				1996 1997								
OTHER S	OURC	E(S):			MAR	PAT	128:			99/ -	1010	ЭΙ	VV	1997	1022							

OTHER SOUR

Desai 10 018547



Spermine analogs, such as R1NHCR2R3(CH2)wNH(CH2)xCH(CONHR)(CH2)yNH(CH2)zCR ΆB 2R3NHR1 [R = H, moiety which cannot be captured by polyamine transporter; R1 = R2 = R3 = H, alkyl; w = 2, 3; z = 2, 3; x = integer from 1 to n; n = integer from 3 to 6; yr = n minus x], were prepd. for therapeutic use as novel inhibitors of polyamine transport. The main feature of this class of transport inhibitors is to incorporate a linker or side chain that prevents the uptake of polyamines and helps to conjugate polyamine analogs to form dimers with high inhibitory potency against polyamine uptake. These new compds. incorporate features that were designed to maximize their chem. and metabolic stability and their ability to bind to the polyamine transporter, and to minimize their toxicity by preventing their absorption by the cells. The purpose of such inhibitors is to prevent the uptake or salvaging of circulating polyamines by rapidly proliferating cells such as tumor cells, in order to potentiate the effect of therapeutic inhibitors of polyamine biosynthesis such as Eflornithine. Thus, spermine analog I was prepd. starting from ornithine hydrochloride and cystamine dihydrochloride. Prepd. compds. underwent pharmacol. testing as well as testing to detn. inhibition of cell proliferation of tumor cell lines such as ZR-75-1 human breast cancer cells and CHO-K1 Chinese hamster ovary cells.

IT 119798-07-1P 206760-71-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of spermine analogs for use as polyamine transport inhibitors)

HCAPLUS COPYRIGHT 2004 ACS on STN ANSWER 14 OF 19

ACCESSION NUMBER: 1996:681591 HCAPLUS

DOCUMENT NUMBER:

126:42328

TITLE:

2,2'-Dithiobis(N-ethyl-spermine-5-carboxamide) is a high affinity, membrane-impermeant antagonist of the

mammalian polyamine transport system

AUTHOR(S):

Huber, Maria; Pelletier, Joele G.; Torossian, Krikor;

Dionne, Patricia; Gamache, Isabelle;

Charest-Gaudreault, Rene; Audette, Marie; Poulin,

Richard

CORPORATE SOURCE:

Laboratory Molecular Endocrinology, Laval University Medical Research Center, Ste. Foy, QC, G1V 4G2, Can.

SOURCE:

PUBLISHER:

Journal of Biological Chemistry (1996), 271(44),

27556-27563

CODEN: JBCHA3; ISSN: 0021-9258

American Society for Biochemistry and Molecular

Biology Journal

DOCUMENT TYPE: LANGUAGE:

English

We have synthesized 2,2'-dithiobis(N-ethyl-spermine-5-carboxamide) (DESC), its thiol monomer (MESC), and the mixed MESC-cysteamine disulfide (DEASC) as potential inhibitors of polyamine transport in mammalian cells. DESC was the most potent antagonist of spermine transport in ZR-75-1 human breast cancer cells, with Ki values of 5.0.+-.0.7, 80.+-.31, and 16.+-.3

.mu.M for DESC, MESC, and DEASC, resp. DESC also strongly blocked putrescine and spermidine uptake in ZR-75-1 cells (Ki = 1.6.+-.0.5 and 2.7.+-.1.1 .mu.M, resp.). While DESC and MESC were purely competitive inhibitors of putrescine transport, DEASC was a mixed competitive/noncompetitive antagonist. Remarkably, DESC was virtually impermeant in ZR-75-1 cells despite its low Ki toward polyamine transport. The marked difference in affinity between DESC and MESC was essentially due to the tail-to-tail juxtaposition of two spermine-like structures, suggesting that dimeric ligands of the polyamine transporter might simultaneously interact with more than one binding site. While DESC strongly decreased the initial rate of [3H] spermidine transport, even a 40-fold molar excess of antagonist could not completely abolish intracellular spermidine accumulation. Moreover, as little as 0.3 .mu.M spermidine fully restored growth in ZR-75-1 cells treated with an inhibitor of polyamine biosynthesis in the presence of 50 .mu.M DESC, thus emphasizing the importance of uptake of trace amts. of exogenous polyamines. Thus, reducing the exogenous supply of polyamines with a potent competitive inhibitor may be kinetically inadequate to block replenishment of the polyamine pool in polyamine-depleted tumor cells that display high transport capacity. These results demonstrate that polyamine analogs cross-linked into a dimeric structure such as DESC interact with high affinity with the mammalian polyamine carrier without being used as substrates. These novel properties provide a framework for the design of specific irreversible inhibitors of the polyamine transporter, which should present advantages over competitive antagonists for an efficient blockade of polyamine transport in tumor cells.

TΤ 124076-28-4P 184896-03-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(dithiobis(ethylsperminecarboxamide) is a high affinity, membrane-impermeant antagonist of the mammalian polyamine transport system)

L24 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:506088 HCAPLUS

DOCUMENT NUMBER:

125:160332

TITLE:

Lipopolyamines as transfection agents and

pharmaceutical uses thereof

INVENTOR(S): PATENT ASSIGNEE(S): Byk, Gerardo; Dubertret, Catherine; Scherman, Daniel

Rhone-Poulenc Rorer S.A., Fr. PCT Int. Appl., 36 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT	NO.		KI	KIND DATE APPLICATION NO.									DATE				
WO	9617	823		A	1	1996	0613		WO 1995-FR1595 19951204									
	W:	AM,	ΑU,	BB,	BG,	BR,	BY,	CA,	CN,	CZ,	EE,	FI,	GE,	HU,	IS,	JP,	KG,	
		KP,	KR,	KZ,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	NZ,	PL,	RO,	RU,	
		SG,	SI,	SK,	ТJ,	TM,	TT,	UA,	UG,	US,	UZ,	VN						
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG			
FR	2727	679		A	1	1996	0607		F	R 19	94-1	4596		1994	1205			
FR	2727	679		В	1	1997	0103											
CA	2208	184		A	A	1996	0613		C.	A 19	95-2	20818	34	1995	1204			
ΑU	9643	072		A	1	1996	0626		A	U 19	96-4	3072		1995	1204			
ΑU	7136	62		В	2	1999	1209											
ΕP	7962	40		А	1	1997	0924		E	P 19	95-9	4176	C	1995	1204			
EΡ	7962	40		В	1	2001	0418											
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	ΙT,	LI,	LU,	NL,	PT,	SE	

```
BR 9510080
                       Α
                            19971230
                                            BR 1995-10080
                                                              19951204
                       A2 19980302
     HU 77171
                                            HU 1997-1862
                                                              19951204
     JP 10509958
                       T2 19980929
                                            JP 1995-517358
                                                              19951204
     IL 116251
                      A1 20001121
                                            IL 1995-116251
                                                              19951204
                           20010515
     AT 200662
                       E
                                            AT 1995-941760
                                                              19951204
     ES 2157351
CZ 289513
                                            ES 1995-941760
                       Т3
                            20010816
                                                              19951204
                      B6 20020213
                                            CZ 1997-1711
                                                              19951204
                      B6 20021008
A 19960611
                                            SK 1997-701
     SK 282601
                                                              19951204
     ZA 9510326
                                            ZA 1995-10326
                                                              19951205
     FI 9702366
                      A
                             19970604
                                            FI 1997-2366
                                                              19970604
     US 6107286
                      A
                             20000822
                                            US 1997-849497
                                                              19970604
                                            NO 1997-2566
     NO 9702566
                             19970605
                                                              19970605
PRIORITY APPLN. INFO.:
                                                           A 19941205
                                         FR 1994-14596
                                         WO 1995-FR1595
                                                           W 19951204
                         MARPAT 125:160332
OTHER SOURCE(S):
    Cationic lipids H2N((CHR)mNH)nH [m=2-6; n=1-9; when n= 2-9 a single R
     grouping other than H is present in the general formula, and m has variable or identical values within the groupings (CHR)m or (CH2)m; R=H,
     (CHR5)pX'Y'CHR4XCHR3YR6; X, X'=0, (CH2)q where q=0-3, NH, NR' where
     R'=C1-4-alkyl; Y, Y'= CH2, CO, C=S; R3-R5=H, (substituted)C1-4-alkyl;
     p=0-5; R6=cholesterol deriv., NR1R2 where R1, R2=straight or branched,
     satd. or unsatd. C12-22 aliph. radical]. Pharmaceutical compns. contg.
     said lipids, and their uses for transfecting nucleic acids whether in
     vitro or in vivo in cells, are also disclosed.
     180266-01-7P 180266-03-9P
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (lipopolyamines as transfection agents and pharmaceutical uses thereof)
L24 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN
                         1992:251305 HCAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          116:251305
                          Polyamine-linked Sepharoses: preparation and
TITLE:
                          application to mammalian spermine synthase
                          Shirahata, Akira; Zhu, Chang Lie; Akatsu, Sakae;
AUTHOR(S):
                          Suzuki, Yasutoshi; Samejima, Keijiro
                         Fac. Pharm. Sci., Josai Univ., Sakado, 350-02, Japan
CORPORATE SOURCE:
SOURCE:
                          Protein Expression and Purification (1991), 2(4),
                          229-34
                          CODEN: PEXPEJ; ISSN: 1046-5928
DOCUMENT TYPE:
                          Journal
                          English
LANGUAGE:
     Seven different polyamine-linked Sepharose derivs. were prepd. for the
     affinity chromatog. of spermidine and spermine binding macromols.:
     spermine synthase from rat and hog brain was used as a model protein with
     a spermidine binding site. Comparative studies of the affinities of the enzymes for the 7 matrixes suggested that 2 neg. charges, 3 to 4 methylene
     groups apart, should be present at the decarboxylated S-adenosylmethionine
     binding site and should improve the binding of the enzyme to the Sepharose
     deriv. Two neg. charges at the spermidine binding site would be expected
     to do the same. Three affinity matrixes linked with 1,17-diamino-4,9,14-
     triazaheptadecane, 1,21-diamino-4,9,13,18-tetraazaheneicosane, or
     5-sperminecarboxylic acid had an affinity for spermine synthases higher
     than that of spermine-Sepharose, which has been used for the purifn. of
     spermine synthase. The first of these matrixes was used and proved to be
```

IT 141136-46-1P

effective for the purifn.

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction with benzylcarbonyl chloride)

L24 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1991:246827 HCAPLUS

DOCUMENT NUMBER: 114:246827

TITLE: Preparation of spermine carboxamides containing fatty

acyl or fatty alkyl moieties: transfection of

eukaryotes

INVENTOR(S): Behr, Jean Paul; Loeffler, Jean Philippe

Centre National de la Recherche Scientifique, Fr. PATENT ASSIGNEE(S):

Eur. Pat. Appl., 10 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE		
EP 394111 EP 394111		19901024 19970604		EP 1990-401020	19900413		
R: AT, BE, C			R, GI	B, GR, IT, LI, LU,	, NL, SE		
FR 2645866	A1			FR 1989-5037			
FR 2645866	В1	19910705					
FR 2646161	A1	19901026		FR 1989-9933	19890724		
FR 2646161	В1	19910705					
CA 2014518	AA	19901017		CA 1990-2014518	19900412		
IL 94077	A1	19941229		IL 1990-94077	19900412		
AT 154035	E	19970615		AT 1990-401020	19900413		
ES 2104593	Т3	19971016		ES 1990-401020	19900413		
JP 02292246	A2	19901203		JP 1990-99472	19900417		
US 5171678	A	19921215		US 1990-509788	19900417		
US 5476962	A	19951219		US 1994-191068	19940203		
US 5616745	A	19970401		US 1995-477690	19950607		
PRIORITY APPLN. INFO.:			FR	1989-5037	19890417		
			US	1990-509788	19900417		
			US	1992-922887	19920731		
			US	1994-191068	19940203		
OWHED COHDOR (C).	N/17\ T	2D7 T 111.21	6927				

OTHER SOURCE(S): MARPAT 114:246827

GT

H2N[(CHR)mNH]nH [n = 1-5 integer; m = 2-6 integer; R = H, R1R2NCOCHR5NHCO; AΒ R1, R2 = C12-22-aliph. radical; R5 = H, (phenyl)C1-4-alkyl, Q; X = CH2, CO; R3, R4 = C11-21-aliph. radical] and their analogs and salts were prepd. H2N(CH2)3NH(CH2)3CH(CO2H)N((CO2CMe3) (CH2)3NH2 (prepn. given) was condensed with H2NCH2CON[(CH2)17Me]2 in methylene chloride contg. dicyclohexylcarbodiimide to give, after deprotection with CF3CO2H, H2N(CH2)3NH(CH2)3CH[CONHCH2CON[(CH2)17Me]2]NH(CH2)3NH2.cntdot.4CF3CO2H (I). The transfection of melanotropic cells with a plasmid contg. a chloramphenicol acetyl transferase expression vector via incubation with I in Dulbecco Modified Essential Medium was studied.

ΙT 133693-19-3P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenation of)

ΙT 124076-28-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (prepn. and tert-butoxycarbonylation of)

L24 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

1990:1996 HCAPLUS ACCESSION NUMBER:

112:1996 DOCUMENT NUMBER:

Efficient gene transfer into mammalian primary TITLE: endocrine cells with lipopolyamine-coated DNA

Behr, Jean Paul; Demeneix, Barbara; Loeffler, Jean AUTHOR(S):

Philippe; Perez-Mutul, Jose

Lab. Chim. Org. Phys., Inst. Le Bel, Strasbourg, CORPORATE SOURCE:

F67000, Fr.

Proceedings of the National Academy of Sciences of the SOURCE:

United States of America (1989), 86(18), 6982-6

CODEN: PNASA6; ISSN: 0027-8424

DOCUMENT TYPE: Journal LANGUAGE: English

A general and efficient transfection procedure, based on compacted lipopolyamine-coated plasmids, was developed. The active species is obtained by simple addn. of excess synthetic lipospermine soln. to the DNA. This binds within min to the cell membrane. This technique has been developed for endocrine cells of the intermediate lobe of the pituitary as a general tool for physiol. work on primary cells; it is not toxic and does not interfere with physiol. regulations in melanotrope cells. A variety of eukaryotic cell cultures also have been transfected successfully and exhibited transient and stable expression.

IT124076-28-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and protection of)

119798-07-1P ΙT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

L24 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2004 ACS on STN

1989:150519 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 110:150519

TITLE: Photohydrolysis of DNA by polyaminobenzenediazonium

salts

AUTHOR(S):

Behr, Jean Paul Lab. Chim. Org. Phys., Inst. Le Bel, Strasbourg, CORPORATE SOURCE:

67000, Fr.

Journal of the Chemical Society, Chemical SOURCE:

> Communications (1989), (2), 101-3 CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

English LANGUAGE:

GT

H2N(CH2)3NH CHCONH N2 H₂N(CH₂)3NH(CH₂)3

The p-diazonium anilide of L-5-carboxyspermine (I) was prepd. and its AB effect in daylight-induced photocleavage of DNA of plasmid pBR322 was examd. Nanomolar concns. of the p-diazonium anilide of L-5-carboxyspermine cleaved DNA in daylight probably via a hydrolytic pathway. The I concn. needed to cleave the 4362 base pair long plasmid was 4.6 mM. The cleavage efficiency paralleled binding to DNA, the conc. at cleavage occurs being 10-8M for I. The photocleavage induced by I was

Desai 10 018547

compared with that induced by the p-diazonium anilides of L-leucine and L-ornithine.

IT 119798-07-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and redn. of and butoxycarbonyl protection of)

=> =>

=> fil reg FILE 'REGISTRY' ENTERED AT 15:11:27 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4 DICTIONARY FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> =>

=> d ide can 119 1-18

ANSWER 1 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN T.19

RN

561297-40-3 REGISTRY L-Ornithine, N2,N5-bis(3-aminopropyl)-, 1,1-dimethylethyl ester CN (9CI) (CA INDEX NAME)

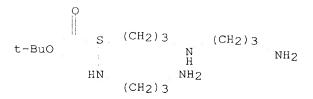
STEREOSEARCH FS

C15 H34 N4 O2 MF

SR CA

CA, CAPLUS, USPATFULL LC STN Files:

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:122734

ANSWER 2 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN

464925-99-3 REGISTRY L-Ornithine, N2,N5,N5-tris(3-aminopropyl)- (9CI) (CA INDEX CN NAME)

STEREOSEARCH FS

C14 H33 N5 O2 MF

SR CA

STN Files: CA, CAPLUS, TOXCENTER LC

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:273730

L19 ANSWER 3 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

220170-84-3 REGISTRY RN

L-Ornithine, N2,N2,N5,N5-tetrakis(2-cyanoethyl)-, monohydrochloride CN (9CI) (CA INDEX NAME)

STEREOSEARCH FS

MF C17 H24 N6 O2 . C1 H

SR CA

STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1: 130:153974 REFERENCE

L19 ANSWER 4 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN 220170-83-2 REGISTRY

L-Ornithine, N2,N5,N5-tris(2-cyanoethyl)-, monohydrochloride (9CI) CN (CA INDEX NAME)

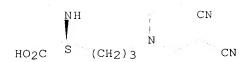
FS STEREOSEARCH MF C14 H21 N5 O2 . Cl H

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

NC



● HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:273730

REFERENCE 2: 130:153974

L19 ANSWER 5 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN 214398-86-4 REGISTRY

CN L-Ornithine, N5-[[(3.beta.)-cholest-5-en-3-yloxy]carbonyl]-N2,N5-bis(2-cyanoethyl)- (9CI) (CA INDEX NAME)

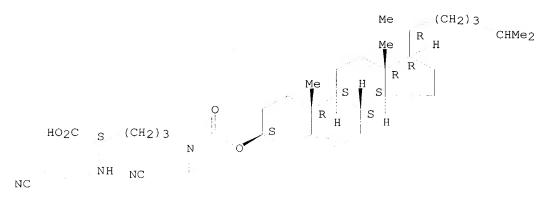
FS STEREOSEARCH

MF C39 H62 N4 O4

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:311677

REFERENCE 2: 129:306524

L19 ANSWER 6 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN RN 214398-85-3 REGISTRY

L-Ornithine, N2,N5-bis(2-cyanoethyl)-, dihydrochloride (9CI) CN

(CA INDEX NAME)

FS STEREOSEARCH

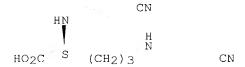
MF C11 H18 N4 O2 . 2 Cl H

SR

STN Files: CA, CAPLUS, USPATFULL LC

(119798-07-1)

Absolute stereochemistry.



•2 HCl

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:311677

REFERENCE 2: 129:306524

ANSWER 7 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN L19

RN

214398-52-4 REGISTRY L-Ornithine, N2,N5-bis(3-aminopropyl)-N5-[[(3.beta.)-cholest-5-en-3-CN yloxy]carbonyl]- (9CI) (CA INDEX NAME)

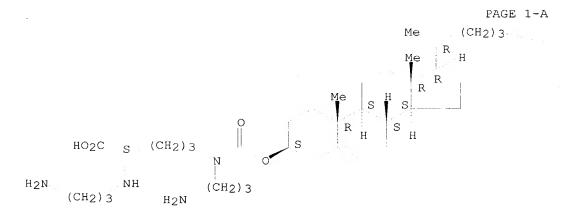
STEREOSEARCH FS

C39 H70 N4 O4 MF

SR CA

CA, CAPLUS, USPATFULL LCSTN Files:

Absolute stereochemistry.



PAGE 1-B

CHMe₂

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:306524

L19 ANSWER 8 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN 213131-55-6 REGISTRY

CN Ornithine, N2,N5-bis(3-aminopropyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C11 H26 N4 O2

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

NH (CH2)3 NH2

H₂N (CH₂)₃ NH (CH₂)₃ CH CO₂H

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:336176

REFERENCE 2: 133:38223

REFERENCE 3: 132:334312

REFERENCE 4: 132:289590

REFERENCE 5: 129:240848

L19 ANSWER 9 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN 206760-71-6 REGISTRY

CN Ornithine, N2,N5-bis(3-aminopropyl)-, monosodium salt (9CI) (CA INDEX NAME)

MF C11 H26 N4 O2 . Na

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CRN (213131-55-6)

NH- (CH₂)₃- NH₂

 H_2N^{-1} (CH₂)₃ NH (CH₂)₃ CH CO₂H

Na

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 128:321804

```
L19 ANSWER 10 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN
     184896-03-5 REGISTRY
RN
CN
     L-Ornithine, N2,N5-bis(3-aminopropyl)-, monopotassium salt (9CI)
      (CA INDEX NAME)
     STEREOSEARCH
FS
MF
     C11 H26 N4 O2 . K
SR
     STN Files: CA, CAPLUS, TOXCENTER
LC
CRN
     (124076-28-4)
Absolute stereochemistry.
          (CH<sub>2</sub>)3
     HN
                   NH<sub>2</sub>
           (CH<sub>2</sub>)<sub>3</sub> H (CH<sub>2</sub>)<sub>3</sub>
HO<sub>2</sub>C
               K
                 1 REFERENCES IN FILE CA (1907 TO DATE)
                 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
REFERENCE 1: 126:42328
L19 ANSWER 11 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN
     180266-03-9 REGISTRY
RN
CN
     L-Ornithine, N2,N5-bis(3-aminopropyl)-, ion(1-), N,N,N-
     trimethylmethanaminium (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     Methanaminium, N,N,N-trimethyl-, salt with N2,N5-bis(3-aminopropyl)-L-
CN
     ornithine (1:1) (9CI)
FS
     STEREOSEARCH
MF
     C11 H25 N4 O2 . C4 H12 N
SR
     CA
LC
     STN Files: CA, CAPLUS, USPATFULL
     CM
           7
     CRN 180266-02-8
     CMF C11 H25 N4 O2
Absolute stereochemistry.
          (CH<sub>2</sub>)3
     HN
                   NH2
                              NH2
      S
          (CH<sub>2</sub>)<sub>3</sub> H
                     (CH<sub>2</sub>)<sub>3</sub>
-02C
     CM
           2
     CRN 51-92-3
```

CMF C4 H12 N

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:160332

L19 ANSWER 12 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN

180266-02-8 REGISTRY
L-Ornithine, N2,N5-bis(3-aminopropyl)-, ion(1-) (9CI) (CA INDEX CN

NAME)

FS STEREOSEARCH

C11 H25 N4 O2 ΜF

CI COM

SR CA

Absolute stereochemistry.

L19 ANSWER 13 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

180266-01-7 REGISTRY RN

L-Ornithine, N2,N5-bis(2-cyanoethyl)-, ion(1-), N,N,Ntrimethylmethanaminium (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

Methanaminium, N,N,N-trimethyl-, salt with N2,N5-bis(2-cyanoethyl)-L-CN ornithine (1:1) (9CI)

FS STEREOSEARCH

MFC11 H17 N4 O2 . C4 H12 N

SR CA

LCSTN Files: CA, CAPLUS, USPATFULL

> CM1

CRN 180266-00-6 CMF C11 H17 N4 O2

Absolute stereochemistry.

$$\begin{array}{c} & \text{CN} \\ & \text{HN} \\ & & \text{H} \\ & \text{N} \\ & -\text{O}_2\text{C} & \text{S} & (\text{CH}_2)_3 & \text{CN} \end{array}$$

CM

CRN 51-92-3 CMF C4 H12 N

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:160332

L19 ANSWER 14 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN

180266-00-6 REGISTRY L-Ornithine, N2,N5-bis(2-cyanoethyl)-, ion(1-) (9CI) (CA INDEX CN NAME)

FS STEREOSEARCH

C11 H17 N4 O2 MF

CI COM

SR CA

Absolute stereochemistry.

L19 ANSWER 15 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

141136-46-1 REGISTRY RN

CN L-Ornithine, N2,N5-bis(3-aminopropyl)-, trihydrochloride (9CI)

(CA INDEX NAME)

FS STEREOSEARCH

MF C11 H26 N4 O2 . 3 C1 H

SR CA

LCSTN Files: CA, CAPLUS

CRN (124076-28-4)

Absolute stereochemistry.

●3 HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:251305

L19 ANSWER 16 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN 133693-19-3 REGISTRY

L-Ornithine, N2,N5-bis(2-cyanoethenyl) - (9CI) (CA INDEX NAME) CN

STEREOSEARCH FS

C11 H14 N4 O2 ΜF SR CA

CA, CAPLUS, USPATFULL LCSTN Files:

Absolute stereochemistry. Double bond geometry unknown.

> CN CN HN (CH₂)₃ S

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 114:246827

ANSWER 17 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN L19

RN

124076-28-4 REGISTRY
L-Ornithine, N2,N5-bis(3-aminopropyl)- (9CI) (CA INDEX NAME) CN

FS STEREOSEARCH

C11 H26 N4 O2 MF

CI COM

SR CA

LCSTN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

(CH₂)3 HN NH2 HO2C S (CH₂)₃ H (CH₂)3

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE) 5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:34433

REFERENCE 2: 131:307091

REFERENCE 3: 126:42328

REFERENCE 4: 114:246827

REFERENCE 5: 112:1996

L19 ANSWER 18 OF 18 REGISTRY COPYRIGHT 2004 ACS on STN

RN

119798-07-1 REGISTRY
L-Ornithine, N2,N5-bis(2-cyanoethyl)- (9CI) (CA INDEX NAME) CN

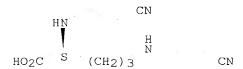
FS STEREOSEARCH C11 H18 N4 O2 MF

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)

5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:38223

REFERENCE 2: 131:307091

REFERENCE 3: 128:321804

REFERENCE 4: 112:1996

REFERENCE 5: 110:150519

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 15:17:38 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Feb 2004 VOL 140 ISS 9 FILE LAST UPDATED: 22 Feb 2004 (20040222/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> =>

=> d stat que

L16 STR

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

L18	3834	SEA	FILE=REGISTRY	SSS FUI	L16	
L19	18	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L18 AND (LYSINE? OR ORNITHINE
			R HISTIDINE?)			,
L21	397	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	SPERMIN?
L23	819	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	SURFACT?
L24			FILE=HCAPLUS A			
L25	3816	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	L18 NOT L19
L26	125350	SEA	FILE=REGISTRY	ABB=ON	PLU=ON	(LYSINE? OR ORNITHINE? OR
			IDINE?)			
L27	10194	SEA	FILE=HCAPLUS A	ABB=ON	PLU=ON	L25
L28	286228	SEA	FILE=HCAPLUS A	ABB=ON	PLU=ON	L26 OR ?LYSIN? OR LYS OR
		?ORN	NITH? OR ORN OR	HISTID	IN?	•
L30	571	SEA	FILE=HCAPLUS A	vBB=ON	PLU=ON	L27 (L) L28
L31	29874	SEA	FILE=HCAPLUS A	BB=ON	PLU=ON	L21 OR SPERMIN?
L32	2287108	SEA	FILE=HCAPLUS A	BB=ON	PLU=ON	L23 OR ?SURFAC?
L36	562	SEA	FILE=HCAPLUS A	BB=ON	PLU=ON	L30 AND L31
L37	4	SEA	FILE=HCAPLUS A	BB=ON	PLU=ON	L36 AND L32
L38	4	SEA	FILE=HCAPLUS A	BB=ON	PLU=ON	L37 NOT L24

```
=>
=>
```

=> d ibib abs hitrn 138 1-4

L38 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:686600 HCAPLUS

DOCUMENT NUMBER: 131:303431

TITLE: Separation of active complexes such as

polynucleotide-transfecting component complexes Szoka, Francis C., Jr.; Xu, Yuhong; Wang, Jinkang The Regents of the University of California, USA

U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 92,200, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

INVENTOR(S):

SOURCE:

	PATENT NO.					ND	DATE			А	PPLI	CATI	ои и	0.	DATE				
	ΕP	5972 1236 1236	600 473		A A	2	1999 2002 2003	1026 0904		U E	S 19 P 20	95-4 02 - 1	8211 408	0	1995 1993	0607 0405			
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, U	GR, S 19	IT, 95-4	LI, 6943	LU,	NL,	SE, 0606	MC,	PT,	ΙE
	US US	6113 5661 5990	025 089		A A		1997 1999	0826 1123		U U	S 19 S 19	95-4 95-4	8046 8682	3 6	1995 1995	0607 0607			
	CA	5811 2223 9640	406 934		A A	A	1998	1219		C.	S 19 A 19	95-4 96-2	8225 2239	4 34	1995	0609			
			AL, ES, LU,	AM, FI, LV,	AT, GB,	AU, GE,	AZ, HU,	BB, IS,	BG, JP,	BR, KE,	BY, KG,	CA, KP,	CH, KR,	CN, KZ,	CZ, LK, RO,	DE, LR,	LS,	LT,	
		RW:	SG, KE, IE,	LS,	MW, LU,	SD, MC,	SZ, NL,	UG, PT,	AT, SE,	BE, BF,	CH, BJ,	DE, CF,	DK, CG,	ES,	FI, CM,	FR, GA,	GB, GN,	GR, ML	
	ΑU	9660: 7145:	248 26		A B	1 2	1996 2000	1230 0106		A	J 19	96-6	0248		1996	0528	,		
	EP	83192	23	BE,	A.	1	1998	0401							1996 NL,		MC,	PT,	
DDTAI	JΡ	2001	5170 0002	61 45	A.	2	2001 2004	1002 0108		J	200	03-20	0006	8	2003	0722		-	
PRIOF	KITY	APP	LN.	INFO	. :				1	US 19 US 19	992-9 993-9	91360 92200	69)	B2 B2	1992 1992 1993	0714 0714			
									1	JP 19 US 19	993-! 995-	51779 4821	93 10	АЗ А2	1993 1993 1995 1995	0405 0607			
AB	The	inve	entio	on se	epara	ates	def:	ined,	7	WO 19	996-1	JS782	24	W	1996	0528	cisti	c fi	com

AB The invention separates defined, active complexes by a characteristic from defined, active complexes that share a particular physicochem. characteristic such as d., surface charge or particle size are sepd. from complexes formed by the assocn. of a polynucleotide with a transfecting component that increases transfection activity, such as a lipid, cationic lipid, liposome, peptide, cationic peptide, dendrimer or polycation. In a preferred embodiment, polynucleotide-transfecting component complexes are ultracentrifuged to resolve one or more bands corresponding to complexes having a specific polynucleotide-transfecting component interaction. Polynucleotide complexes having a cationic

liposome transfecting component resolve into two primary bands corresponding to complexes formed either under excess lipid conditions or under excess polynucleotide conditions. In an alternate embodiment, polynucleotide-transfecting component complexes are resolved using cross-flow electrophoresis to identify complexes having specific interactions and to sep. them from excess initial components. An example is give for the prepn of spermine-5-carboxyglycin (N'-stearyl-N'-oleyl)amide. 124050-78-8, Glycinamide, N2, N5-bis(3-aminopropyl)-Lornithyl-N,N-dioctadecyl-, tetrakis(trifluoroacetate) 168479-03-6, Dospa RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (sepn. of active complexes such as polynucleotide-transfecting component complexes) REFERENCE COUNT: THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L38 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1984:80914 HCAPLUS DOCUMENT NUMBER: 100:80914 TITLE: Repair of oxygen-induced lung injury in adult rats. The role of ornithine decarboxylase and polyamines AUTHOR(S): Thet, Lyn A.; Parra, Saundra C.; Shelburne, John D. CORPORATE SOURCE: Med. Cent., Duke Univ., Durham, NC, USA American Review of Respiratory Disease (1984), 129(1), SOURCE: 174 - 81CODEN: ARDSBL; ISSN: 0003-0805 DOCUMENT TYPE: Journal LANGUAGE: English The repair of lung injury in adult rats exposed to 100% O for 60 h and $\,$ then placed in ambient air was studied. Lung ornithine decarboxylase (ODC) [9024-60-6] activity and polyamine (putrescine [110-60-1], spermidine [124-20-9], and spermine [71-44-3]) content during repair were correlated with changes in lung ultrastructure. The effect of difluoromethylornithine (DFMO) [70052-12-9], a selective irreversible ODC inhibitor, was also studied; ODC activity increased to 25-fold baseline 2 days after injury and returned to normal by 7 days. Polyamine content increased to 3-fold baseline during the 1st 3 days. During the same period, the no. of capillary endothelial cells and the capillary surface area almost doubled, and the no. of type 2 epithelial cells increased 2.5-fold. The DFMO treatment lowered ODC activities below baseline, reduced the increase in polyamine content, and also reduced the morphometric parameters described above to only 60-70% of the values during normal repair. It also caused a significant decrease in the no. of type 1 epithelial cells during repair, suggesting that deficient replacement by differentiating type 2 epithelial cells occurred. Thus, marked changes in lung ODC activity and polyamine content occur during the repair of O-induced injury to the lung and selective inhibition of these changes adversely affects repair. TT 71-44-3 RL: BIOL (Biological study) (of lung, during hyperoxia-induced lung injury recovery) L38 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1981:436759 HCAPLUS DOCUMENT NUMBER: 95:36759 TITLE: Diphtheria toxin: receptor interaction. Characterization of the receptor interaction with the nucleotide-free toxin, the nucleotide-bound toxin, and the B-fragment of the toxin

Proia, Richard L.; Eidels, Leon; Hart, David A.

AUTHOR(S):

CORPORATE SOURCE: SOURCE:

Health Sci. Cent., Univ. Texas, Dallas, TX, 75235, USA

Journal of Biological Chemistry (1981), 256(10),

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE:

LANGUAGE:

Journal English

Ι

NH2 HO P(O) (OH)O CH2 N

AB A no. of polycationic mols. were tested for their effect on the interaction between diphtheria toxin and a solubilized diphtheria toxin-binding cell surface glycoprotein (receptor). Such polycationic proteins as histones and protamine were inhibitory, whereas lysozyme [9001-63-2] was not. Putrescine [110-60-1] was without effect, spermidine [124-20-9] was mildly inhibitory, and spermine [71-44-3] was a potent inhibitor. Poly(L-ornithine) 25104-12-5] and ruthenium red [11103-72-3], which are known to block toxin-mediated cytotoxicity, were also effective inhibitors. Utilizing poly(L-lysine) [25104-18-1] of defined sizes, chain lengths of >4 lysines were necessary for inhibition. The isolated B-fragment of diphtheria toxin binds to the solubilized diphtheria toxin receptor and this binding is inhibited by the polyanion ATP (I) [56-65-5]. In addn., the form of diphtheria toxin which is free of an endogenous nucleotide-like mol. binds to the solubilized diphtheria toxin receptor. This binding is inhibited by exogenous ATP. In contrast, the form of the toxin that contains the unidentified nucleotide-like mol. does not bind to this cell surface receptor. That this latter observation is relevant to the functional receptor on cells was demonstrated by cytotoxicity expts. The amt. of nucleotide-bound form required to inhibit protein synthesis by 50% was .apprx.700-fold greater than the amt. of nucleotide-free toxin required to achieve the same level of inhibition. These observations are consistent with a model in which: (1) the exogenous polyphosphate (e.g. ATP), the endogenous nucleotide, and a putative anionic toxin-binding site on the receptor bind to the cationic phosphate-binding site (P-site) on the B-fragment of the diphtheria toxin mol., and (2) the polycationic mols. inhibit toxin:receptor interaction by competing with the toxin for the putative anionic binding site on the receptor.

TΤ 71-44-3

RL: BIOL (Biological study)

(diphtheria toxin interaction with receptor inhibition by)

ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1978:69461 HCAPLUS

DOCUMENT NUMBER:

88:69461

TITLE:

Simulation of hormone effects by polycations

AUTHOR(S):

CORPORATE SOURCE:

Wolff, J.; Cook, G. H. Natl. Inst. Arthritis, Metab. Dig. Dis., NIH,

Bethesda, MD, USA

SOURCE:

Endocrinology (1977), 101(6), 1767-75

CODEN: ENDOAO; ISSN: 0013-7227

DOCUMENT TYPE: Journal LANGUAGE: English

Steroidogenesis in Y-1 adrenal tumor and I-10 Leydig tumor cells was sensitive to polylysine-HBr [25988-63-0] of a wide mol. wt. range. At low concns. of polymer, there was a 5-10-fold stimulation of steroidogenesis in both cell lines. This was abolished at higher polylysine concns. With ds.p. >9-18, half-maximal stimulation occurred at .apprx.1 .times. 10-4M monomer concn., irrespective of mol. wt. Adenylate cyclase [9012-42-4] in partially purified membranes from Y-1 and I-10 cells was stimulated by polylysines which acted as partial agonists under these conditions (in contrast to steroidogenesis where responses were comparable to maximal effects obtained with ACTH1-24 [16960-16-0] or adenosine [58-61-7], resp.). Half-maximal stimulation for all mol. wts. of polylysine occurred at 5 .times. 10-4M when the level was expressed as the concn. of monomer. As in the case of steroidogenesis, RNase A [9001-99-4] was an effective stimulator, but spermine [71-44-3] stimulated only membranes and not intact cells. At concns. below those producing stimulation of steroidogenesis, polylysine inhibited ACTH1-24 stimulation of adenylate cyclase in a mixed competitivenoncompetitive manner. Apparently, nonspecific cationic interactions with the membrane can lead to surface changes that mimic effects produced by hormones.

IT 71-44-3

RL: BIOL (Biological study)
(adenylate cyclase stimulation by, in adrenal and testis neoplasm membranes)

=> select hit rn 138 1-4 E1 THROUGH E3 ASSIGNED

=> fil reg FILE 'REGISTRY' ENTERED AT 15:17:59 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4 DICTIONARY FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> =>

=> s e1-e3

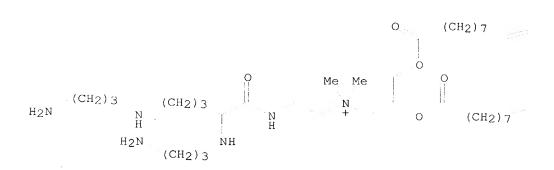
1 71-44-3/BI (71-44-3/RN)

Desai 10 018547

1 124050-78-8/BI (124050-78-8/RN) 1 168479-03-6/BI (168479-03-6/RN) 3 (71-44-3/BI OR 124050-78-8/BI OR 168479-03-6/BI) L39 => d ide can 139 1-3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2004 ACS on STN 168479-03-6 REGISTRY L39 1-Propanaminium, N-[2-[[2,5-bis[(3-aminopropyl)amino]-1-CNoxopentyl]amino]ethyl]-N, N-dimethyl-2, 3-bis[[(9Z)-1-oxo-9-octadecenyl]oxy]salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME) OTHER NAMES: 2,3-Dioleoyloxy-N-[2-(sperminecarboxamido)ethyl]-N,N-dimethyl-1propanaminium trifluoroacetate CN DOSPA FS STEREOSEARCH 163046-76-2 DR C54 H107 N6 O5 . C2 F3 O2 MF CI COM SR CA BIOBUSINESS, CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL LC STN Files: CM1 CRN 168479-02-5 CMF C54 H107 N6 O5

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

```
(CH<sub>2</sub>)<sub>7</sub>
```

Z (CH₂) 7

CM 2

CRN 14477-72-6 CMF C2 F3 O2

F C CO2-

77 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
77 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:24099

REFERENCE 2: 140:19883

REFERENCE 3: 139:359868

REFERENCE 4: 139:287300

REFERENCE 5: 139:26604

REFERENCE 6: 138:384141

REFERENCE 7: 138:243090

REFERENCE 8: 138:210277

REFERENCE 9: 137:274019
REFERENCE 10: 137:243037

L39 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2004 ACS on STN

RN **124050-78-8** REGISTRY

CN Glycinamide, N2,N5-bis(3-aminopropyl)-L-ornithyl-N,N-dioctadecyl-, tetrakis(trifluoroacetate) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C49 H102 N6 O2 . 4 C2 H F3 O2

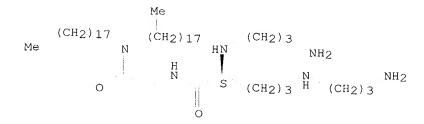
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

CM 1

CRN 124050-77-7 CMF C49 H102 N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1 CMF C2 H F3 O2

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:303431

REFERENCE 2: 129:265462

REFERENCE 3: 114:246827

REFERENCE 4: 112:1996

L39 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2004 ACS on STN

RN **71-44-3** REGISTRY

CN 1,4-Butanediamine, N,N'-bis(3-aminopropyl)- (8C1, 9CI) (CA INDEX NAME) OTHER CA INDEX NAMES:

CN Spermine (6CI)

OTHER NAMES:

CN 1,5,10,14-Tetraazatetradecane

CN 4,9-Diazadodecane-1,12-diamine

CN Gerontine

CN Musculamine

CN N, N'-Bis (3-aminopropyl)-1, 4-butanediamine

CN N, N'-Bis(3-aminopropyl)-1,4-tetramethylenediamine

CN Neuridine

CN NSC 268508

CN Spermin

FS 3D CONCORD

DR 115-04-8

MF C10 H26 N4

CI COM

Desai 10 018547

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DRUGU, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PIRA, PROMT, RTEGS*, SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL, VETU (*File contains numerically searchable property data)
Other Sources: EINECS**, NDSL**, TSCA**

(**Enter CHEMLIST File for up-to-date regulatory information)

H₂N - (CH₂)₃ - NH - (CH₂)₄ NH (CH₂)₃ NH₂

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8394 REFERENCES IN FILE CA (1907 TO DATE)
258 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
8402 REFERENCES IN FILE CAPLUS (1907 TO DATE)
106 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 140:128590 REFERENCE 2: 140:127343 REFERENCE 3: 140:125363 REFERENCE 4: 140:125025 REFERENCE 140:124341 5: REFERENCE 6: 140:122320

REFERENCE 8: 140:109062 REFERENCE 9: 140:108160

7:

140:111403

REFERENCE

REFERENCE 10: 140:107903

=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 15:26:35 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 23 Feb 2004 VOL 140 ISS 9 FILE LAST UPDATED: 22 Feb 2004 (20040222/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=>

=> d stat que

L16 STR

N· C- C- C- N· C- C C C N- C- C N 1 2 3 4 5 6 7 8 20 9 10 11 12 13

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 14

STEREO	ATTRIBUT	ES: 1	NONE										
L18	3834	SEA	FILE=REGISTRY SSS FUL	L16									
L19	18	SEA	FILE=REGISTRY ABB=ON	PLU=ON	L18 AND (LYSINE? OR ORNITHINE								
			R HISTIDINE?)										
L21	397	SEA	FILE=REGISTRY ABB=ON	PLU=ON	SPERMIN?								
L23	819	SEA	FILE=REGISTRY ABB=ON	PLU=ON	SURFACT?								
L24	19		FILE=HCAPLUS ABB=ON	PLU=ON	L19								
L25	3816		FILE=REGISTRY ABB=ON										
L26	125350	SEA	FILE=REGISTRY ABB=ON	PLU=ON	(LYSINE? OR ORNITHINE? OR								
	HISTIDINE?)												
L27	10194	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L25								
L28	286228	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L26 OR ?LYSIN? OR LYS OR								
?ORNITH? OR ORN OR HISTIDIN?													
L30	571	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L27 (L) L28								
L31	29874	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L21 OR SPERMIN?								
L32	2287108	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L23 OR ?SURFAC?								
L36	562	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L30 AND L31								
L37	4	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L36 AND L32								
L38	4	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L37 NOT L24								
L42	5166	SEA	FILE=REGISTRY ABB=ON	PLU=ON	^KS/SQSP								
L46	1937	SEA	FILE=HCAPLUS ABB=ON	PLU=ON	L42								

```
4 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 AND L46
4 SEA FILE=HCAPLUS ABB=ON PLU=ON L47 NOT (L24 OR L38)
L47
L48
=>
=>
=> d ibib abs hitrn 148 1-4
L48 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:
                       2002:185153 HCAPLUS
DOCUMENT NUMBER:
                             136:247892
                             Method for binding, in solution, a peptide and a
TITLE:
                             lipophilic vector and uses thereof
                             Bonnet, Dominique; Bourel, Line; Melnyk, Oleg
INVENTOR(S):
                             Institut Pasteur de Lille, Fr.; Centre National de la
PATENT ASSIGNEE(S):
                             Recherche Scientifique (CNRS)
SOURCE:
                             PCT Int. Appl., 56 pp.
                             CODEN: PIXXD2
DOCUMENT TYPE:
                             Patent
                             French
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                                                  APPLICATION NO. DATE
     PATENT NO.
                        KIND DATE
                                 _____
      _____
                         ____
                                                  _____
                        A2 20020314
A3 20030109
                                                  WO 2001-FR2787 20010907
                                 20020314
     WO 2002020558
     WO 2002020558
              AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
               PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
               US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG -2813794

Al 20020315

FR 2000-11451

20000908
     FR 2813794
     FR 2813794
                                 20030124
                          В1
                                                  AU 2001-87832
                                                                       20010907
     AU 2001087832
                                 20020322
                                                 EP 2001-967454
                                                                       20010907
                               20030604
     EP 1315739
                          A2
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                               FR 2000-11451
                                                                   A 20000908
                                               WO 2001-FR2787 W 20010907
OTHER SOURCE(S):
                            CASREACT 136:247892; MARPAT 136:247892
     The invention concerns a method for binding, in soln., a peptide compn. and a lipophilic vector bearing an aldehyde function via formation of a
      hydrazone bond. The lipopeptides obtained by this method have biol.
      applications, e.g., in screening of cells. Thus, peptide
     H-K(COCH2NHNH2)IRVVHOLLPESSLRKRKRSR-NH2 (MuIFN.gamma. a) was prepd. and
      treated with lipophilic vector Me(CH2)14CONH(CH2)3NHCOCHO to form the
     hydrazone deriv. Expression of class II major histocompatibility complex
      on the surface of COLO 205 cells, induced by incubation with lipopeptides
      of the invention, was analyzed.
      403856-82-6P 403856-84-8P
ΙT
      RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
      BIOL (Biological study); PREP (Preparation)
          (method for binding in soln. of a peptide and a lipophilic vector)
      403856-67-7P
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
      (Reactant or reagent)
          (method for binding in soln. of a peptide and a lipophilic vector)
```

```
IT 197906-39-1P, Tartaric acid
```

RL: SPN (Synthetic preparation); PREP (Preparation) (method for binding in soln. of a peptide and a lipophilic vector)

L48 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:903794 HCAPLUS

DOCUMENT NUMBER:

136:58784

TITLE:

Encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted

liposome complexes Boulikas, Teni

INVENTOR(S):

USA

SOURCE:

PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

P	PATENT NO.				KI	KIND DATE				APPLICATION NO.						DATE			
		0 2001093836 0 2001093836 W: AE, AG,						W	0 20	01-U	S186	- <i>-</i> 57	20010608						
•••									AZ.	BA.	BB.	BG.	BR.	BY.	BZ.	CA.	CH.	CN.	
													-		GD,		•		
										-					LC,				
															NZ,				
		P	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
		V	'n,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
	RI	W: G	SH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		D	E,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,	
		В	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	\mathtt{ML} ,	MR,	ΝE,	SN,	TD,	TG			
E	EP 1292284			A2 20030319				EP 2001-942131						20010608					
	R						•	•		•	•	•	LI,	LU,	ΝL,	SE,	MC,	PT,	
				•	•		FI,	•	•	•	,								
						A1 20030417									20010608				
JP 2003535832					T	T2 20031202				JP 2002-501409						20010608			
PRIORI	. :				Ţ	JS 2000-210925P P				Ρ	20000609								
										WO 2	001-t	JS18	657	W	2001	0608			

AΒ A method is disclosed for encapsulating plasmids, oligonucleotides or neg.-charged drugs into liposomes having a different lipid compn. between their inner and outer membrane bilayers and able to reach primary tumors and their metastases after i.v. injection to animals and humans. formulation method includes complex formation between DNA with cationic lipid mols. and fusogenic/NLS peptide conjugates composed of a hydrophobic chain of about 10-20 amino acids and also contg. four or more histidine residues or NLS at their one end. The encapsulated mols. display therapeutic efficacy in eradicating a variety of solid human tumors including but not limited to breast carcinoma and prostate carcinoma. Combination of the plasmids, oligonucleotides or neg.-charged drugs with other anti-neoplastic drugs (the pos.-charged cis-platin, doxorubicin) encapsulated into liposomes are of therapeutic value. Also of therapeutic value in cancer eradication are combinations of the encapsulated plasmids, oligonucleotides or neg.-charged drugs with HSV-tk plus encapsulated ganciclovir.

IT 124050-77-7

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted liposome complexes)

IT 379719-25-2 379722-31-3

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted liposome complexes)

71-44-3, Spermine TT

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(encapsulation of plasmid DNA (Lipogenes) and therapeutic agents with nuclear localization signal/fusogenic peptide conjugates into targeted liposome complexes)

L48 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

2000:728698 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:91012

TITLE: Co-polymer of histidine and lysine markedly enhances

transfection efficiency of liposomes

AUTHOR(S): Chen, Q-R.; Zhang, L.; Stass, S. A.; Mixson, A. J. CORPORATE SOURCE: Department of Pathology and Greenebaum Cancer Center,

University of Maryland Baltimore, Baltimore, MD,

21201, USA

SOURCE: Gene Therapy (2000), 7(19), 1698-1705

CODEN: GETHEC; ISSN: 0969-7128

PUBLISHER: Nature Publishing Group

DOCUMENT TYPE: Journal English

AΒ Development of nonviral delivery systems is progressing toward a transfection efficiency sufficient to affect metabolic and neoplastic diseases in humans. Nevertheless, inadequate transfection efficiency of target cells with current nonviral systems still limits the utility of this therapy. In the current study, we have detd. that a co-polymer of histidine and lysine (H-K) enhances the transfection efficiency of liposomes, a leading nonviral system. We found that in the absence of serum, the addn. of this polymer increased transfection as much as 10-fold in comparison with the liposome: DNA complex alone. More impressively, the co-polymer in the presence of serum increased transfection efficiency up to 100-fold. Furthermore, in vivo expression of luciferase in a tumor increased 15-fold with the addn. of H-K polymer to the liposome:plasmid DNA complexes. Without liposomes, the H-K polymer had little to no effect on transfection efficiency. We anticipate that further modifications of this co-polymer will yield mols. with both increased complexity and transfection efficiency.

ΙT 158571-62-1, Lipofectamine 178532-92-8, DOSPER RL: BPR (Biological process); BSU (Biological study, unclassified); MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(co-polymer of histidine and lysine enhances transfection efficiency of liposomes)

IΤ 316821-92-8P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(co-polymer of histidine and lysine enhances transfection efficiency of liposomes)

REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L48 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1989:590130 HCAPLUS 111:190130

TITLE:

Substrate recognition determinants for rhodopsin kinase: studies with synthetic peptides, polyanions, and polycations

AUTHOR(S): Palczewski, Krzysztof; Arendt, Anatol; McDowell, J.

Hugh; Hargrave, Paul A.

Dep. Ophthalmol., Univ. Florida, Gainesville, FL, CORPORATE SOURCE:

32610, USA

SOURCE: Biochemistry (1989), 28(22), 8764-70

CODEN: BICHAW; ISSN: 0006-2960

DOCUMENT TYPE:

Journal LANGUAGE: English

Rhodopsin kinase phosphorylated serine- and threonine-contg. peptides from AB the bovine rhodopsin C-terminal sequence. The Km values for the peptides decreased as the length of the peptide was increased over the range 12-31 amino acids, reaching 1.7 mM for peptide 318-348 from the rhodopsin sequence. The Km for phosphorylation of rhodopsin was .apprx.103 lower than that for the peptides, which suggested that binding of rhodopsin kinase to its substrate, photolyzed rhodopsin, involves more than just binding to the C-terminal peptide region that is to be phosphorylated. A synthetic peptide from the rhodopsin sequence that contains both serines and threonines was improved as a substrate by substitution of serines for the threonines, suggesting that serine residues are preferred as substrates. Analogous 25-amino-acid peptides from the human red or green cone visual pigment, a .beta.-adrenergic receptor, or an Ml muscarinic acetylcholine receptors were better substrates for bovine rhodopsin kinase than was the peptide from bovine rhodopsin. An acidic serine-contg. peptide from a non-receptor protein, .alpha.sl .beta.-caseins, was also good substrate for rhodopsin kinase. However, many basic peptide that were substrates for other protein kinases, histone IIA, histone IIS, clupeine, salmine, and a neurofilament peptide, were not phosphoryalted by rhodopsin kinase. Polycations, such as spermine or spermidine, were nonessential activators of phosphorylation of rhodopsin or its synthetic peptide 324-348. Polyanions, such as poly(aspartic acid), dextran sulfate (or poly(adenylic acid) inhibited the kinase. Poly(L-aspartic acid) was a competitive inhibitor with respect to rhodopsin (Ki = 300 .mu.M) and showed mixed-type inhibition with respect to ATP.

IT 123152-19-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and rhodopsin kinase response to)

ΙT 71-44-3, Spermine

RL: BIOL (Biological study) (rhodopsin kinase response to)

=> select hit rn 148 1-4 E4 THROUGH E15 ASSIGNED

=> fil reg

FILE 'REGISTRY' ENTERED AT 15:27:02 ON 23 FEB 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4 DICTIONARY FILE UPDATES: 22 FEB 2004 HIGHEST RN 652965-05-4

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

```
=> s e4-e15
              1 71-44-3/BI
                   (71-44-3/RN)
               123152-19-2/BI
                   (123152-19-2/RN)
              1 124050-77-7/BI
                   (124050-77-7/RN)
              1 158571-62-1/BI
                   (158571-62-1/RN)
              1 178532-92-8/BI
                   (178532-92-8/RN)
              1 197906-39-1/BI
                   (197906-39-1/RN)
              1 316821-92-8/BI
                   (316821-92-8/RN)
                379719-25-2/BI
                   (379719-25-2/RN)
              1 379722-31-3/BI
                   (379722-31-3/RN)
              1 403856-67-7/BI
                   (403856-67-7/RN)
              1 403856-82-6/BI
                   (403856-82-6/RN)
              1 403856-84-8/BI
                   (403856-84-8/RN)
             12 (71-44-3/BI OR 123152-19-2/BI OR 124050-77-7/BI OR 158571-62-1/B
L49
                i or 178532-92-8/BI or 197906-39-1/BI or 316821-92-8/BI or 37971
                9-25-2/BI OR 379722-31-3/BI OR 403856-67-7/BI OR 403856-82-6/BI
                OR 403856-84-8/BI)
=> s 149 and 118
L50
              5 L49 AND L18
=> d ide can 150 1-5
     ANSWER 1 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
1.50
     197906-39-1 REGISTRY
RN
     Butanediamide, N,N'-bis(3-aminopropyl)-2,3-dihydroxy-, [R-(R*,R*)]- (9CI)
CN
     (CA INDEX NAME)
FS
     STEREOSEARCH
     C10 H22 N4 O4
MF
CI
     COM
SR
     CA
T<sub>i</sub>C
                   CA, CAPLUS, CASREACT
     STN Files:
Absolute stereochemistry.
                 0
                         OH
                               H
     (CH<sub>2</sub>)3
                                           NH<sub>2</sub>
                     R
                        R
H2N
                                   (CH<sub>2</sub>)<sub>3</sub>
              Н
```

PROPERTY DATA AVAILABLE IN THE. 'PROP' FORMAT

ŌН

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:247892

REFERENCE 2: 127:331474

L50 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN

RN 178532-92-8 REGISTRY

CN 9-Octadecenoic acid (9Z)-, 2-[[2,5-bis[(3-aminopropyl)amino]-1-oxopentyl]amino]-1,3-propanediyl ester (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN 9-Octadecenoic acid (Z)-, 2-[[2,5-bis[(3-aminopropyl)amino]-1-oxopentyl]amino]-1,3-propanediyl ester

OTHER NAMES:

CN DOSPER

FS STEREOSEARCH

MF C50 H97 N5 O5

SR CA

LC STN Files: BIOSIS, CA, CAPLUS, IPA, TOXCENTER, USPATFULL

Double bond geometry as shown.

PAGE 1-B

(CH₂)₇

Me

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

38 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

38 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:57982

REFERENCE 2: 139:328077

```
REFERENCE
            3:
                137:98996
REFERENCE
                137:83511
REFERENCE
            5:
                137:57284
            6:
                136:395627
REFERENCE
                136:390857
REFERENCE
            7:
            8:
                136:336176
REFERENCE
                136:242909
REFERENCE
            9:
          10: 136:241095
REFERENCE
    ANSWER 3 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
L50
     158571-62-1 REGISTRY
RN
CN
     1-Propanaminium, N-[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]ami
     no]-3-oxopropyl]-N, N-dimethyl-2, 3-bis[[(9Z)-1-oxo-9-octadecenyl]oxy]-,
     salt with trifluoroacetic acid (1:1), mixt. with 1-[[[(2-
     aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl
     di-(9Z)-9-octadecenoate (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
     1-Propanaminium, N-[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]ami
CN
     no]-3-oxopropyl]-N, N-dimethyl-2, 3-bis[(1-oxo-9-octadecenyl)oxy]-, (Z,Z)-,
     salt with trifluoroacetic acid (1:1), mixt. with (Z,Z)-1-[[[(2-x)]]
     aminoethoxy) hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl
     di-9-octadecenoate
     9-Octadecenoic acid (9Z)-, 1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methy
CN
     1]-1,2-ethanediyl ester, mixt. contg. (9CI)
     9-Octadecenoic acid (Z)-, 2-deoxy-2-[(1-oxododecyl)amino]-,
CN
     1-[[[(2-aminoethoxy)hydroxyphosphinyl]oxy]methyl]-1,2-ethanediyl ester,
     mixt. contg.
OTHER NAMES:
CN
     LipofectAMINE
FS
     STEREOSEARCH
     C54 H106 N5 O5 . C41 H78 N O8 P . C2 F3 O2
MF
CI
     MXS
SR
                  AGRICOLA, BIOSIS, BIOTECHNO, CA, CAPLUS, CIN, EMBASE, IPA,
LC
     STN Files:
       RTECS*, TOXCENTER, USPAT2, USPATFULL
         (*File contains numerically searchable property data)
     CM
     CRN
          2462-63-7
         C41 H78 N O8 P
     CME
Double bond geometry as shown.
                                                             PAGE 1-A
                                  0
               H<sub>2</sub>N
                                           0
                            HO
                                0
                    (CH<sub>2</sub>)7
     (CH2)7
              Ζ
                              0
```

(CH₂)₇

(CH₂)7

0

0

Me

PAGE 1-B

Ме

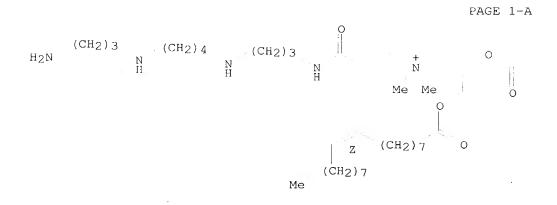
CM 2

CRN 185097-43-2 CMF C54 H106 N5 O5 . C2 F3 O2

CM 3

CRN 181508-68-9 CMF C54 H106 N5 O5

Double bond geometry as shown.



PAGE 1-B

 $(CH_2)_7$ Z $(CH_2)_7$ Me

CM 4

CRN 14477-72-6 CMF C2 F3 O2

F C CO2 =

Page 45

Desai 10_018547

304 REFERENCES IN FILE CA (1907 TO DATE)

12 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

305 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 140:117121

REFERENCE 2: 140:91562

REFERENCE 3: 140:88375

REFERENCE 4: 140:88364

REFERENCE 5: 140:53428

REFERENCE 6: 140:1965

REFERENCE 7: 140:701

REFERENCE 8: 140:259

REFERENCE 9: 139:393051

REFERENCE 10: 139:369676

L50 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN

RN **124050-77-7** REGISTRY

CN Glycinamide, N2,N5-bis(3-aminopropyl)-L-ornithyl-N,N-dioctadecyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN DOGS

CN DOGS (peptide)

CN Transfectam

FS STEREOSEARCH

MF C49 H102 N6 O2

CI COM

SR CA

LC STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CANCERLIT, CAPLUS, DIOGENES, MEDLINE, PIRA, PROMT, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

125 REFERENCES IN FILE CA (1907 TO DATE)

10 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

125 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:235215

REFERENCE 2: 139:224472

```
3: 139:202221
REFERENCE
REFERENCE
                 139:26604
              5: 138:406770
REFERENCE
              6: 138:384141
REFERENCE
             7: 138:379265
REFERENCE
                 138:243023
REFERENCE
              8:
             9: 138:112194
REFERENCE
REFERENCE 10: 138:88638
L50 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2004 ACS on STN
     71-44-3 REGISTRY
RN
     1,4-Butanediamine, N,N'-bis(3-aminopropyl)- (8CI, 9CI) (CA INDEX NAME)
CN
OTHER CA INDEX NAMES:
CN
    Spermine (6CI)
OTHER NAMES:
CN
     1,5,10,14-Tetraazatetradecane
CN
     4,9-Diazadodecane-1,12-diamine
CN
     Gerontine
CN
     Musculamine
CN
     N, N'-Bis (3-aminopropyl)-1, 4-butanediamine
     N, N'-Bis (3-aminopropyl)-1, 4-tetramethylenediamine
CN
CN
     Neuridine
     NSC 268508
CN
CN
     Spermin
FS
     3D CONCORD
DR
     115-04-8
MF
     C10 H26 N4
CI
     COM
LC
     STN Files:
                   ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUIRE, BEILSTEIN*,
        BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS,
       CASREACT, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DRUGU, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB,
        IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PIRA, PROMT, RTECS*,
        SPECINFO, SYNTHLINE, TOXCENTER, USPAT2, USPATFULL, VETU
          (*File contains numerically searchable property data) er Sources: EINECS**, NDSL**, TSCA**
     Other Sources:
          (**Enter CHEMLIST File for up-to-date regulatory information)
H<sub>2</sub>N-(CH<sub>2</sub>)<sub>3</sub> NH-(CH<sub>2</sub>)<sub>4</sub> NH (CH<sub>2</sub>)<sub>3</sub> NH<sub>2</sub>
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
             8394 REFERENCES IN FILE CA (1907 TO DATE)
              258 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
             8402 REFERENCES IN FILE CAPLUS (1907 TO DATE)
              106 REFERENCES IN FILE CAOLD (PRIOR TO 1967)
REFERENCE
             1: 140:128590
REFERENCE
             2: 140:127343
REFERENCE
            3: 140:125363
```

```
140:125025
REFERENCE
            4:
REFERENCE
                140:124341
REFERENCE
            6:
               140:122320
            7:
               140:111403
REFERENCE
REFERENCE
            8:
                140:109062
REFERENCE
          9:
                140:108160
REFERENCE 10: 140:107903
```

=> d his 149-151

(FILE 'HCAPLUS' ENTERED AT 15:26:35 ON 23 FEB 2004) SELECT HIT RN L48 1-4

FILE 'REGISTRY' ENTERED AT 15:27:02 ON 23 FEB 2004

L49 12 S E4-E15 L50 5 S L49 AND L18 L51 7 S L49 NOT L50

=> d ide can 151 1-7

L51 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 403856-84-8 REGISTRY

CN L-Leucinamide, N6-[[[2-[[3-[[[(3.beta.)-cholest-5-en-3-yl]oxy]carbonyl]amino]propyl]amino]-2-oxoethylidene]hydrazino]acetyl]-L-lysyl-L-seryl-L-leucyl-L-arginyl-L-seryl-L-alpha.-glutamyl-L-arginyl-L-arginyl-L-isoleucyl-L-arginyl-L-leucyl-L-lysyl-L-valyl-L-arginyl-L-prolyl-L-isoleucyl-L-arginyl-L-valyl-L-leucyl-L-seryl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C147 H261 N47 O31

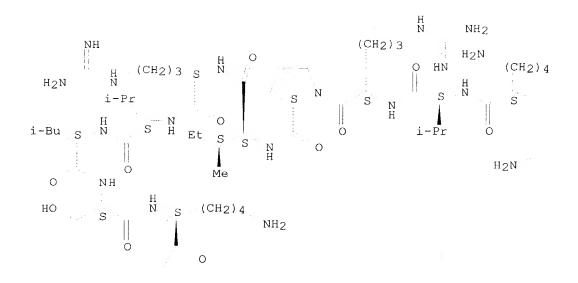
SR CA

LC STN Files: CA, CAPLUS

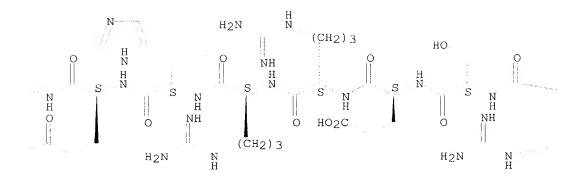
Absolute stereochemistry.
Double bond geometry unknown.

^{**}RELATED SEQUENCES AVAILABLE WITH SEQLINK**

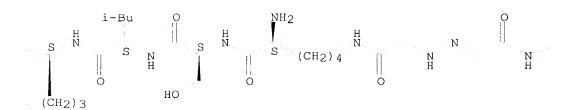
PAGE 1-A



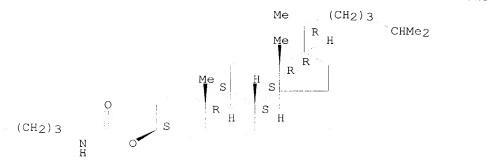
PAGE 1-B



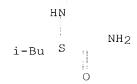
PAGE 1-C



PAGE 1-D



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:247892

L51 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN **403856-82-6** REGISTRY

CN L-Leucinamide, N6-[[[2-oxo-2-[[3-[(1-oxohexadecyl)amino]propyl]amino]ethyl idene]hydrazino]acetyl]-L-lysyl-L-seryl-L-leucyl-L-arginyl-L-seryl-L-alpha.-glutamyl-L-arginyl-L-arginyl-L-histidyl-L-glutaminyl-L-lysyl-L-valyl-L-arginyl-L-prolyl-L-isoleucyl-L-arginyl-L-valyl-L-leucyl-L-seryl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

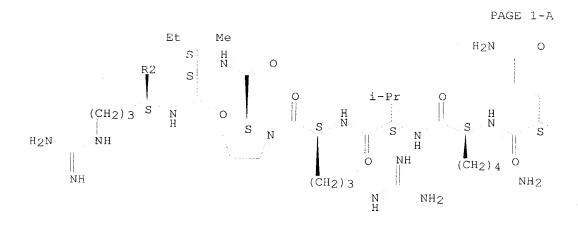
MF C135 H247 N47 O30

SR CA

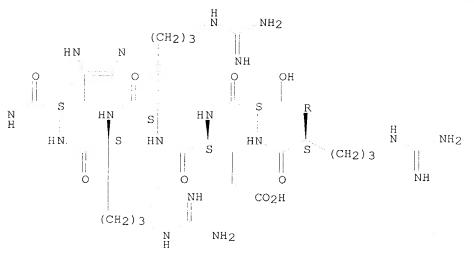
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.
Double bond geometry unknown.



PAGE 1-B



PAGE 2-A H (CH₂)₄ H₂N N H Ν (CH₂)₃ Bu-i 0 S Ö HN HN0 R HNOH S Ó

PAGE 2-B

 $(CH_2)_{14}$

Ме

PAGE 3-A НО i-Pr H N (CH₂)₄ S H₂N H N 0 N H S 0 i-Bu ΗN 0 Ŕ2 NH2 i-Bu S Ö

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:247892

L51 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN **403856-67-7** REGISTRY

CN L-Leucinamide, N6-(hydrazinoacetyl)-L-lysyl-L-seryl-L-leucyl-L-arginyl-L-seryl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-distidyl-L-glutaminyl-L-lysyl-L-valyl-L-arginyl-L-isoleucyl-L-arginyl-L-valyl-L-leucyl-L-seryl-L-lysyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

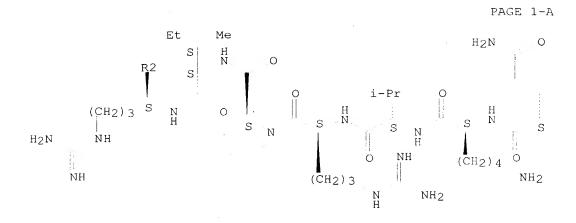
MF C114 H209 N45 O28

SR CA

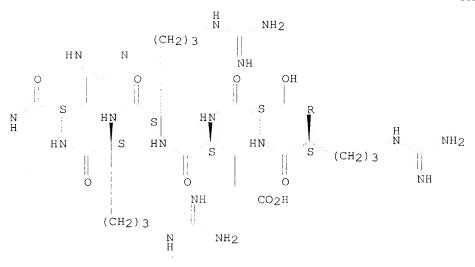
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

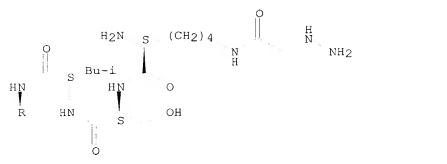
Absolute stereochemistry.



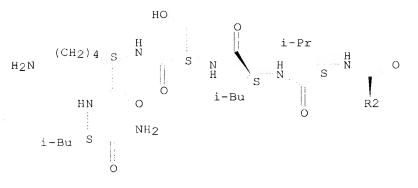
PAGE 1-B



PAGE 2-A



PAGE 3-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:247892

L51 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

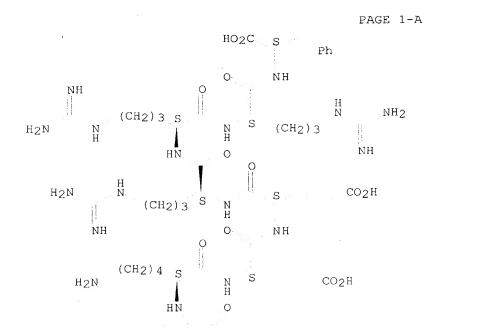
RN **379722-31-3** REGISTRY

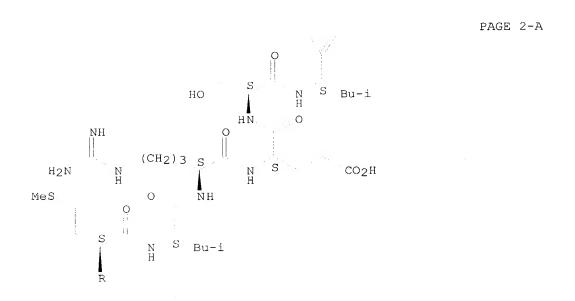
CN L-Phenylalanine, L-lysyl-L-seryl-L-.alpha.-glutamyl-L-arginyl-L-.alpha.-glutamyl-L-arginyl-L-methionyl-L-leucyl-L-arginyl-L-.alpha.-glutamyl-L-seryl-L-leucyl-L-lysyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-L-arginyl-(9CI) (CA INDEX NAME)

OTHER NAMES:

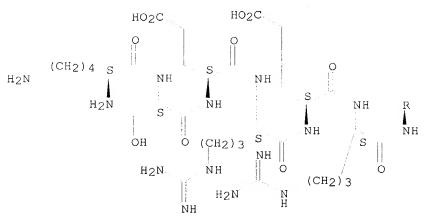
- CN 577: PN: WO0193836 SEQID: 575 claimed protein
- FS PROTEIN SEQUENCE; STEREOSEARCH
- MF C105 H183 N39 O32 S
- SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL





PAGE 3-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:58784

ANSWER 5 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN 379719-25-2 REGISTRY L51

RN

L-Aspartic acid, L-lysyl-L-seryl-L-lysyl-L-alanyl-L-lysyl-L-seryl-L-lysyl-CN L-alanyl-L-arginyl-L-arginyl-L-alpha.-glutamyl-L-alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

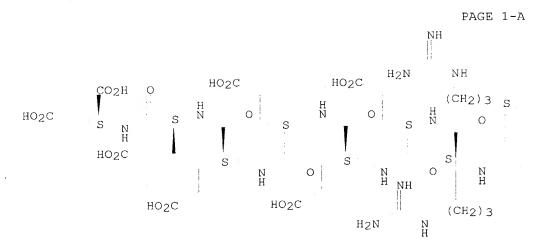
242: PN: WO0193836 SEQID: 240 claimed protein CN

PROTEIN SEQUENCE; STEREOSEARCH FS

C77 H134 N26 O31 MF

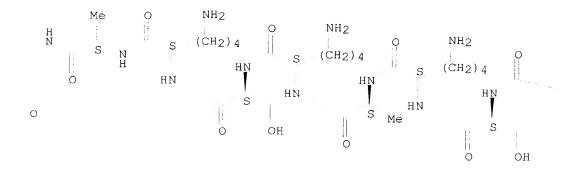
SR

CA, CAPLUS, TOXCENTER, USPATFULL STN Files: LC



Page 56

PAGE 1-B



PAGE 1-C

```
(CH<sub>2</sub>)<sub>4</sub>
                                      NH_2
NH<sub>2</sub>
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:58784

ANSWER 6 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN 316821-92-8 REGISTRY

RN

CN L-Lysine, L-lysyl-L-seryl-L-lysyl-L-seryl-L-lysyl-L-seryl-L-lysyl-L-seryl-L-lysylglycyl-L-lysyl-L-seryl-L-lysyl-L-seryl-L-lysyl-L-seryl-L-lysyl-L-seryl- (9CI) (CA INDEX NAME)

OTHER NAMES:

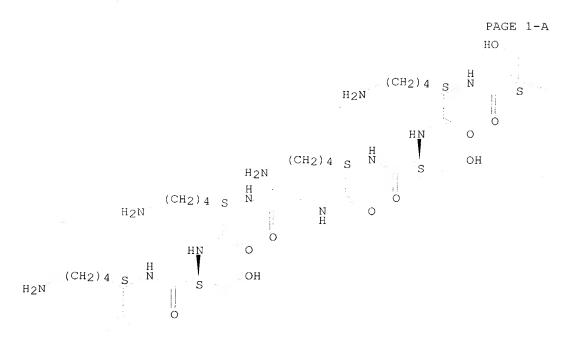
10: PN: US20030165567 SEQID: 10 claimed protein CN

PROTEIN SEQUENCE; STEREOSEARCH FS

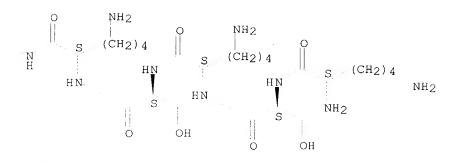
MF C86 H165 N29 O28

SR CA

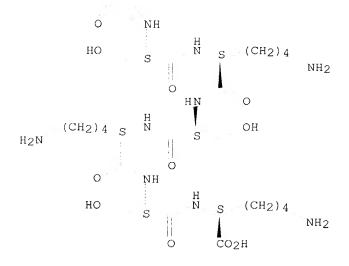
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL



PAGE 1-B



PAGE 2-A



3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:235379
REFERENCE 2: 135:111952

3:

L51 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN

RN 123152-19-2 REGISTRY

CN L-Lysine, L-lysyl-L-seryl-L-prolyl-L-valyl-L-lysyl-L-prolyl-L-seryl-L-prolyl-L-seryl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-lysyl-L-prolyl-L-seryl-L-prolyl-L-valyl-L-lysyl-L-prolyl-L-seryl-L-prolyl-L-valyl-L-alpha.-glutamyl-L-lysylglycyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

134:91012

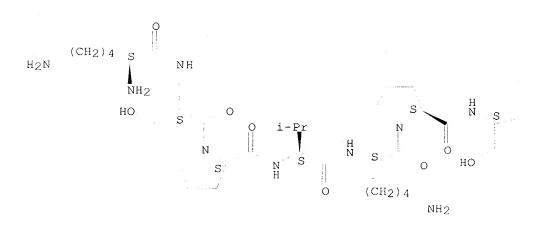
MF C128 H218 N34 O40

SR CA

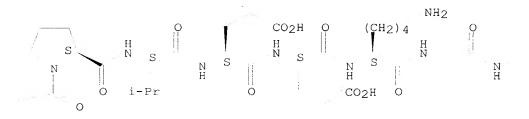
REFERENCE

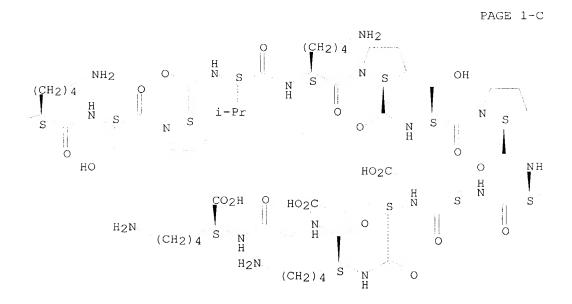
LC STN Files: CA, CAPLUS

PAGE 1-A



PAGE 1-B





PAGE 1-D

Pr-i

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:190130